FILE 'CAPLUS' ENTERED AT 10:03:38 ON 18 APR 2002
ACT WHITE708/A

```
L1  (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  METHYLCELLULOSE/CN
L2  (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  "HYDROXYPROPYL CELLULOS
L3  (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  "SODIUM CARBOXYMETHYL C
L4  (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  9004-65-3/RN
L5  (        22)SEA FILE=REGISTRY ABB=ON  PLU=ON  (GELATIN/CN OR "GELATIN
L6  (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  ACETATE/CN
L7  (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  POLYVINYLPYRROLIDONE/CN
L8  (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  STARCH/CN
L9  (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  "ALGINIC ACID"/CN
L10 (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  CARRAGEENAN/CN
L11 (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  "GUM TRAGACANTH"/CN
L12 (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  "GUM ARABIC"/CN
L13 (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  "GUM KARAYA"/CN
L14 (        34)SEA FILE=REGISTRY ABB=ON  PLU=ON  L1 OR L2 OR L3 OR L4 OR
L15 (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  CELLULOSE/CN
L16 (    293006)SEA FILE=CAPLUS ABB=ON  PLU=ON  L15 OR CELLULOSE
L17 (         5)SEA FILE=REGISTRY ABB=ON  PLU=ON  (METHANOL OR ETHANOL OR
L18 (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  ACETONE/CN
L19 (        15)SEA FILE=REGISTRY ABB=ON  PLU=ON  (WATER/CN OR "WATER ((H
L20 (     14552)SEA FILE=CAPLUS ABB=ON  PLU=ON  L16(S)(MICROCRYST? OR CRY
L21 (      5141)SEA FILE=CAPLUS ABB=ON  PLU=ON  L20 AND (L14 OR METHYLCEL
L22 (       139)SEA FILE=CAPLUS ABB=ON  PLU=ON  L20 AND (GUM(W)(TRAGACANT
L23 (      1646)SEA FILE=CAPLUS ABB=ON  PLU=ON  L20 AND ((METHYL OR ME OR
L24 (       174)SEA FILE=CAPLUS ABB=ON  PLU=ON  L20 AND (POLY(W)(VINYLPYR
L25 (       390)SEA FILE=CAPLUS ABB=ON  PLU=ON  (L21 OR L22 OR L23 OR L24
L26 (       179)SEA FILE=CAPLUS ABB=ON  PLU=ON  L25 AND (L19 OR WATER OR
L27          39 SEA FILE=CAPLUS ABB=ON  PLU=ON  L26 AND GRANUL?

L28          30 S L27 AND TABLET


L1  (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  METHYLCELLULOSE/CN
L2  (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  "HYDROXYPROPYL
                CELLULOSE"/CN
L3  (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  "SODIUM CARBOXYMETHYL
                CELLULOSE"/CN
L4  (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  9004-65-3/RN
L5  (        22)SEA FILE=REGISTRY ABB=ON  PLU=ON  (GELATIN/CN OR
                "GELATIN (HUMAN 10KDA)"/CN OR "GELATIN (HUMAN 15KDA)"/CN
                OR "GELATIN (HUMAN 17-KILODALTON)"/CN OR "GELATIN (HUMAN
                18-KILODATON)"/CN OR "GELATIN (HUMAN 22KDA)"/CN OR
                "GELATIN (HUMAN 23KDA)"/CN OR "GELATIN (HUMAN 33-KILODALT
                ON)"/CN OR "GELATIN (HUMAN 37KDA)"/CN OR "GELATIN (HUMAN
                44-KILODALTON)"/CN OR "GELATIN (HUMAN 45KDA)"/CN OR
                "GELATIN (HUMAN 50-KILODALTON)"/CN OR "GELATIN (HUMAN
                5KDA)"/CN OR "GELATIN (HUMAN 65KDA)"/CN OR "GELATIN
                (HUMAN 6KDA)"/CN OR "GELATIN (HUMAN 8KDA)"/CN OR
                "GELATIN (HUMAN 9KDA)"/CN OR "GELATIN (HUMAN)"/CN)
L6  (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  ACETATE/CN
L7  (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  POLYVINYLPYRROLIDONE/CN
L8  (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  STARCH/CN
L9  (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  "ALGINIC ACID"/CN
L10 (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  CARRAGEENAN/CN
L11 (         1)SEA FILE=REGISTRY ABB=ON  PLU=ON  "GUM TRAGACANTH"/CN
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L12 (1) SEA FILE=REGISTRY ABB=ON PLU=ON "GUM ARABIC"/CN
L13 (1) SEA FILE=REGISTRY ABB=ON PLU=ON "GUM KARAYA"/CN
L14 (34) SEA FILE=REGISTRY ABB=ON PLU=ON L1 OR L2 OR L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR L9 OR L10 OR L11 OR L12 OR L13
L15 (1) SEA FILE=REGISTRY ABB=ON PLU=ON CELLULOSE/CN
L16 (293006) SEA FILE=CAPLUS ABB=ON PLU=ON L15 OR CELLULOSE
L17 (5) SEA FILE=REGISTRY ABB=ON PLU=ON (METHANOL OR ETHANOL OR PROPANOL OR ISOPROPANOL)/CN
L18 (1) SEA FILE=REGISTRY ABB=ON PLU=ON ACETONE/CN
L19 (15) SEA FILE=REGISTRY ABB=ON PLU=ON (WATER/CN OR "WATER ((H2O)2)"/CN OR "WATER (D2180)"/CN OR "WATER (D2O1+)"/CN OR "WATER (DOT), HEAVY"/CN OR "WATER (DTO)"/CN OR "WATER (H17OH)"/CN OR "WATER (H214O)"/CN OR "WATER (H215O)"/CN OR "WATER (H217O)"/CN OR "WATER (H218O)"/CN OR "WATER (H2O1+)"/CN OR "WATER (HD16O)"/CN OR "WATER (HDO)"/CN OR "WATER (HDO1+)"/CN OR "WATER (HTO)"/CN OR "WATER (T2180)"/CN OR "WATER (T2O)"/CN OR "WATER (TOH)"/CN)
L20 (14552) SEA FILE=CAPLUS ABB=ON PLU=ON L16(S)(MICROCRYST? OR CRYST?)
L21 (5141) SEA FILE=CAPLUS ABB=ON PLU=ON L20 AND (L14 OR METHYLCELLULOSE OR HYDROXYPROPYLCELLULOSE OR (NA OR SODIUM)(W)CARBOXYMETHYLCELLULOSE OR HYDROXYPROPYLMETHYLCELLULOSE OR GELATIN OR ACETATE OR PVP OR POLYVINYLPYRROLIDONE OR STARCH OR ALIGINATE OR ALGINIC OR ((LOCUST OR GUAR)(3A)SED)(S)(EXT## OR EXTRACT?) OR CARRAGEENAN)
L22 (139) SEA FILE=CAPLUS ABB=ON PLU=ON L20 AND (GUM(W)(TRAGACANTH OR ARABIC OR KAR!YA))
L23 (1646) SEA FILE=CAPLUS ABB=ON PLU=ON L20 AND ((METHYL OR ME OR HYDROXYPROPYL OR HYDROXY(W)(PROPYL OR PR) OR (NA OR SODIUM)(W)(CARBOXYMETHYL OR CARBOXY(W)(ME OR METHYL)) OR HYDROXYPROPYL OR HYDROXY(W)(PR OR PROPYL))(W)CELLULOSE)
L24 (174) SEA FILE=CAPLUS ABB=ON PLU=ON L20 AND (POLY(W)(VINYLPYRROLIDONE OR VINYL PYRROLIDONE) OR POLYVINYL PYRROLIDONE)
L25 (390) SEA FILE=CAPLUS ABB=ON PLU=ON (L21 OR L22 OR L23 OR L24) AND (L17 OR L18 OR METHANOL OR ETHANOL OR PROPANOL OR ISOPROPANOL OR (METHYL OR ME OR ET OR ETHYL OR PROPYL OR PR OR ISOPROPYL OR (TERT? OR T)(W)(BU OR BUTYL))(W)(ALC OR ALCOHOL) OR ACETONE)
L26 (179) SEA FILE=CAPLUS ABB=ON PLU=ON L25 AND (L19 OR WATER OR H2O)
L27 39 SEA FILE=CAPLUS ABB=ON PLU=ON L26 AND GRANUL?
L28 30 SEA FILE=CAPLUS ABB=ON PLU=ON L27 AND TABLET

L28 ANSWER 1 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:142490 CAPLUS
DOCUMENT NUMBER: 136:189364
TITLE: Oral pharmaceutical dosage forms for pulsatile delivery of an antiarrhythmic agent such as sotalol
INVENTOR(S): Midha, Kamal K.; Hirsh, Mark; Lo, Whe-Yong
PATENT ASSIGNEE(S): Peirce Management, LLC, USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002013794	A1	20020221	WO 2001-US41712	20010814

W: AU
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

PRIORITY APPLN. INFO.: US 2000-639584 A 20000814

AB A pulsatile-release dosage forms for delivery of an antiarrhythmic agent as an once a day dose comprises (a) an immediate-release dosage unit, (b) a delayed-release dosage unit, and (c) a sec. delayed-release dosage unit. The dosage forms may comprise capsules housing compressed **tablets** or drug-contg. beads, **granules**, or particles or may comprise a single **tablet** with the first, second and optional third dosage units incorporated therein, or a coated core dosage form. For example, an immediate release **granulation** was prepd. by mixing 5 kg sotalol hydrochloride powder, 1 kg **microcryst. cellulose** or lactose or their combination and 800 g **starch** and **granulation** wit **water** to form a wet mass. The wet **granules** were dried until the moisture content was less than 5%. The dried **granulation** was milled using a conventional mill or screened through a 16-20 mesh. The resulting screened **granulation** was blended with 300 g sodium **starch** glycolate, 40 g magnesium and 40 g silicone dioxide.

IT **64-17-5, Ethanol**, biological studies
67-63-0, Isopropanol, biological studies
67-64-1, Acetone, biological studies
9003-39-8, Polyvinyl pyrrolidone
9004-32-4, Sodium carboxymethyl cellulose 9004-64-2, Hydroxypropyl cellulose 9004-65-3, Hydroxypropyl **methylcellulose 9004-67-5, Methyl cellulose 9005-25-8, Starch**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (prepn. of oral dosage forms for pulsatile delivery of antiarrhythmics)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 2 OF 30 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:	2002:122765 CAPLUS
DOCUMENT NUMBER:	136:172780
TITLE:	Hydrogel-driven drug dosage form containing polymers
INVENTOR(S):	Appel, Leah Elizabeth; Babcock, Walter C.; Beyerinck, Ronald Arthur; Chidlaw, Mark Brian; Curatolo, William John; Friesen, Dwayne Thomas; Herbig, Scott Max; Thombre, Avinash Govind
PATENT ASSIGNEE(S):	Pfizer Products Inc., USA
SOURCE:	PCT Int. Appl., 78 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002011702	A2	20020214	WO 2001-IB1390	20010803

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-224199P P 20000809

AB A controlled release dosage form has a coated core with the core comprising a drug-contg. compn. and a **water**-swellable compn., each occupying sep. regions within the core. The coating around the core is **water**-permeable, **water**-insol. and has at least one delivery port. A drug-contg. compn. comprises a low-soly. drug and a a drug-entraining agent, such as polyols, polyether oligomers, mixts. of polyfunctional org. acids, cationic materials, polyethylene oxide, cellulose ethers, **gelatin**, and xanthan gum. A variety of geometric arrangements are disclosed. To form the drug-contg. compn., 35% sildenafil citrate having a soly. of about 20 Eg/mL at pH 6, 30% xylitol, 29% PEO, 5% Explotab, and 1% magnesium stearate were wet **granulated**. To form the **water**-swellable compn., 74.5% Explotab, 24.5% Prosolv 90, and 1% magnesium stearate were blended. Three-layer **tablet** cores were formed by compression of 200 mg of drug-contg. compn., 100 mg **water**-swellable compn., and the sec. half of the drug-contg. compn. (200 mg) to the hardness of about 11 Kp. The **tablet** cores were then coated with soln. contg. cellulose **acetate**, polyethylene glycol, **water** and **acetone** (7:3:5:85 by wt.). The drug dissoln. study showed that 19% of the drug was released within 2 h, 83% within 9 h, and 100% of the drug was released within 24 h.

IT **9004-34-6**, Avicel PH 102, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**microcryst.**; polymeric hydrogel-driven controlled release dosage forms of low-soly. drugs)

IT **9004-64-2**, **Hydroxypropyl cellulose**
9004-65-3, Hydroxypropyl **methyl cellulose**
9004-67-5, **Methyl cellulose**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polymeric hydrogel-driven controlled release dosage forms of low-soly. drugs)

L28 ANSWER 3 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:9854 CAPLUS
DOCUMENT NUMBER: 136:74630
TITLE: Taste masked pharmaceutical particles containing a polymeric coating
INVENTOR(S): McTeigue, Daniel; Parikh, Narendra; Wynn, David W.; Pillai, Ravivaj S.
PATENT ASSIGNEE(S): McNeil-PPC, Inc., USA

SOURCE: Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1166777	A1	20020102	EP 2001-305664	20010629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2002031552	A1	20020314	US 2001-878034	20010608
JP 2002087952	A2	20020327	JP 2001-201173	20010702

PRIORITY APPLN. INFO.: US 2000-215505P P 20000630

AB Taste masked particles and chewable **tablets** made therefrom are disclosed. The taste masked particles comprise a core contg. an active ingredient and a polymeric coating covering said core, said coating comprising a mixt. of (a) an enteric polymer; and (b) an insol. film forming polymer, the surface of said particle being free of active ingredient. The chewable **tablets** provide immediate release of the active ingredient. For example, 1800 g ibuprofen powder and 200 g Avicel PH 101 were spray coated with a coating soln. contg. (wt.%) **acetone** 5100, **water** 900, HPMCP 353.34, cellulose **acetate** 286.67, and Polysorbate 80 26.67 at a rate of 80 g/min at 42.degree.. After all of the soln. was sprayed, the coated particles were dried and the final dried batch weighed 2141 g (80% yield). The level of coating materials was 25% by wt. of the total finished coated particles. The resulting coated particles had an av. diam. of 323 .mu. with a std. deviation of 122 .mu.. Coated particles obtained were blended with aspartame, acesulfame potassium, citric acid, **granular** mannitol, fumaric acid, **microcryst. cellulose**, and flavor. Magnesium stearate was added, the mixt. was further blended, and then compressed on a rotary **tablet** press at 40 rpm. Chewable **tablets** prepd. were perceived to have no throat burn.

IT **9004-64-2, Hydroxypropyl cellulose**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of taste masked pharmaceutical particles contg. polymeric coating for chewable **tablets**)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 4 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:903360 CAPLUS
DOCUMENT NUMBER: 136:25115
TITLE: Pharmaceuticals comprising a core and an envelope based on **gum arabic**
INVENTOR(S): Pandalis, Georgios; Daniels, Rolf
PATENT ASSIGNEE(S): Germany
SOURCE: Ger. Offen., 12 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10028621	A1	20011213	DE 2000-10028621	20000609

AB The invention concerns a pharmaceutical comprising a core and an envelope based on **gum arabic**, e.g., a film-coated **tablet**, or a filled soft capsule. Thus, **gum arabic**-contg. soln. was obtained by the soaking of 400 g powd. gum in a 600-g mixt. consisting of 75 parts **water** and 25 parts glycerin. A filler prepn. (370.0 mg) was obtained by mixing 200.0 mg **granulated** Allium powder and 105.0 mg **microcryst. cellulose** and 65.0 mg rose of Sharon flour. This was mixed with the **gum arabic** soln. to give hard **gelatin** capsules.

IT **64-17-5, Ethanol,** uses
RL: NUU (Other use, unclassified); USES (Uses)
(pharmaceuticals comprising core and envelope based on **gum arabic**)

IT **9000-01-5, Gum arabic**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceuticals comprising core and envelope based on **gum arabic**)

L28 ANSWER 5 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:772079 CAPLUS
DOCUMENT NUMBER: 135:322737
TITLE: Preparation of an oral pharmaceutical formulation containing an antimicrobial agent and a microorganism
INVENTOR(S): Modi, Rajiv Indravadan; Bansal, Yatish Kumar; Khamar, Bakulesh Mafatlal
PATENT ASSIGNEE(S): Cadila Pharmaceuticals, Ltd., India
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6306391	B1	20011023	US 1998-45890	19980323
US 2002025309	A1	20020228	US 2001-935099	20010823

PRIORITY APPLN. INFO.: IN 1997-BO174 A 19970327
US 1998-45890 A3 19980323

AB A process of making a stable fixed dose oral pharmaceutical formulation is provided. The formulation contains at least 1 anti-infective agent and at least one microorganism. The process involves a step of first coating the agent and/or the microorganism to provide a protective barrier around it. Next, the process involves a step of combining the agent and the microorganism into a single pharmaceutical formulation in the form of a capsule or a **tablet**. The barrier protects the microorganism from the effect of the anti-infective agent to maintain the microorganism in a viable form for a period of at least 3 mo. The agent can be an antibiotic such as amoxycillin and the microorganism can be Lactobacillus acidophilus. Thus, double-layered **tablets** were prepd. as follows: the relative proportion of antimicrobial

agents and excipients to prep. coating suspensions and coating the agents before **granulation** were; antimicrobial agent 77.54, Et **cellulose** 2.70, iso-**Pr alc.** 7.42, and dichloromethane 12.34%; the relative proportion of antimicrobial agents and excipients to prep. **granules** were; antimicrobial agent 64.08, **microcryst. cellulose** 26.45, **starch** 9.00, Color Sunset Yellow Lake 0.45, and **water** 0.02%; the relative proportion of excipients to be added to **granules** contg. the agents as lubricants were; NaCl 31.91, Polvplasdone-XL 14.89, **microcryst. cellulose** 21.28, 12. saccharin sodium 10.64, flavor orange 10.64, Mg stearate 5.32, and talc 5.32%; the relative proportion of microorganisms 18.18, **starch** 18.18, **microcryst. cellulose** 56.67, Mg stearate 0.91, Polyplasdone-XL 3.03, and NaCl 3.03%. The fixed dose-layered **tablet** compns. which were prepd. through the above described process contained the above active ingredients and viable organisms in their resp. concns.

IT **9004-34-6, Cellulose**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**microcryst.**; prepn. of oral pharmaceutical formulation contg. antimicrobial agent and microorganism)

IT **67-63-0, Isopropyl alcohol**, uses
RL: NUU (Other use, unclassified); PEP (Physical, engineering or chemical process); PROC (Process); USES (Uses)
(prepn. of oral pharmaceutical formulation contg. antimicrobial agent and microorganism)

IT **9003-39-8**, Polyplasdone XL **9004-64-2, Hydroxypropyl cellulose 9004-65-3**, Hydroxypropyl **methyl cellulose 9005-25-8**, **Starch**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of oral pharmaceutical formulation contg. antimicrobial agent and microorganism)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 6 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:762782 CAPLUS
DOCUMENT NUMBER: 135:322722
TITLE: Coating agents for sustained-release oral preparations containing basic drugs
INVENTOR(S): Nishii, Hiroyuki; Kobayashi, Hirohisa; Otoda, Kazuya
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001076557	A1	20011018	WO 2001-JP3024	20010409

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-107671 A 20000410

AB Disclosed are pH-independent sustained release prepns. capable of releasing a drug independently from the pH value in the gastric tract. These sustained release prepns. are characterized in that a drug-contg. core is coated with (1) a first layer made of a **water**-insol. polymer, and (2) a second layer made of an enteric polymer and a **water**-sol. polymer. Core **granules** were prepd. contg. perospirone.cntdot.HCl, **cryst. cellulose, PVP, starch** and silica. The **granules** were coated with a first compn. contg. Et cellulose, talc, tri-Et citrate, **ethanol**, and **water**, and then a second compn. contg. methacrylate copolymer, **PVP**, sucrose ester, Macrogol 6000, and **water**.

IT **9003-39-8, Polyvinylpyrrolidone 9004-64-2**, **Hydroxypropyl cellulose 9004-65-3**, Hydroxypropyl **methyl cellulose 9004-67-5**, **Methyl cellulose**

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (polymeric coating agents for sustained-release oral prepns. contg. basic drugs)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 7 OF 30 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:360073 CAPLUS

DOCUMENT NUMBER: 134:354003

TITLE: **Microcrystalline cellulose** cushioning **granules** with controlled release property for pharmaceutical and other product

INVENTOR(S): Vladyka, Ronald S., Jr.; Erkoboni, David F.; Sweriduk, Christopher A.

PATENT ASSIGNEE(S): FMC Corporation, USA

SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001034684	A1	20010517	WO 2000-US31015	20001109

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ,

UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-165121P P 19991112

AB **Granulation** of **microcryst. cellulose** with a **granulating** fluid consists of **water** and a **water**-miscible, volatile, polar org. solvent yields porous **granules** which are comprised of particles that are larger than the ungranulated **microcryst. cellulose.** This **granulated microcryst. cellulose** is capable of cushioning controlled release particles and barrier coated particles from the compression forces used in tableting, thereby maintaining the phys. integrity of the components of the **tablet.**

IT **9000-07-1, Carrageenan**
RL: MOA (Modifier or additive use); USES (Uses)
(ext., hydrocolloid; **microcryst. cellulose** cushioning **granules** with controlled release property for pharmaceutical and other product)

IT **9000-65-1, Gum tragacanth** **9003-39-8, Poly(vinyl pyrrolidone)** **9004-32-4, Sodium carboxymethylcellulose** **9004-64-2, Hydroxypropylcellulose** **9004-65-3, Hydroxypropylmethylcellulose** **9004-67-5, Methylcellulose** **9005-25-8, Starch,** uses **9005-32-7, Alginic** acid
RL: MOA (Modifier or additive use); USES (Uses)
(hydrocolloid; **microcryst. cellulose** cushioning **granules** with controlled release property for pharmaceutical and other product)

IT **67-63-0, Isopropanol,** uses
RL: NUU (Other use, unclassified); USES (Uses)
(**microcryst. cellulose** cushioning **granules** with controlled release property for pharmaceutical and other product)

IT **9004-34-6D, Cellulose,** hydrolized, properties
RL: BUU (Biological use, unclassified); PRP (Properties); TEM (Technical or engineered material use); BIOL (Biological study); USES (Uses)
(**microcryst.**; **microcryst. cellulose** cushioning **granules** with controlled release property for pharmaceutical and other product)

IT **64-17-5, Ethanol,** uses **67-56-1, Methanol,** uses **67-64-1, Acetone,** uses **62309-51-7, Propanol**
RL: NUU (Other use, unclassified); USES (Uses)
(solvents; **microcryst. cellulose** cushioning **granules** with controlled release property for pharmaceutical and other product)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 8 OF 30 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:131163 CAPLUS
DOCUMENT NUMBER: 134:168379
TITLE: Preparation of time-specific controlled-release capsule formulations containing a swellable polymeric coating layers
INVENTOR(S): Busetti, Cesare; Crimella, Tiziano
PATENT ASSIGNEE(S): Italy
SOURCE: U.S., 11 pp., Cont.-in-part of U.S. 5,891,474.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6190692	B1	20010220	US 1997-991814	19971216
US 5891474	A	19990406	US 1997-790530	19970129

PRIORITY APPLN. INFO.: US 1997-790530 A2 19970129

AB The time-specific controlled-release capsule formulations comprise (a) a core contg. a liq. form of a pharmaceutically active agent to be delivered, and (b) a swellable polymeric coating layer substantially surrounding the core. The swellable polymeric coating layer delays the release of the pharmaceutically active agent from the core for a predetd. period of time dependent upon the thickness of the swellable polymeric coating layer. The swellable polymeric coating layer surrounding the core is provided by a new method which includes alternately (i) wetting the core with a binder soln., and (ii) coating the core with powd. polymeric particles a sufficient no. of times to produce a time-specific dosage formulation having the desired thickness of swellable polymeric coating layer. For example, 40 mg of verapamil HCl, 129 mg of dibasic calcium phosphate dihydrate, 20 mg of **microcryst. cellulose**, and 10 mg of sodium **starch** glycolate, were mixed thoroughly. Magnesium stearate (1 mg) is added and thoroughly mixed for another 5 min. The **granular** mixt. is formed into **tablet** cores of 6.8 mm diam., weighing 200 mg each using a rotary **tablet** press. The cores show a disintegration time lower than 5 min. in **water**, a Schleuninger hardness higher than 10 kp and a friability lower than 0.1 %. The cores are heated to 400.degree. and the coating layer is applied onto the cores in a two-step procedure, using an automatic coating pan. In the first step, the cores are wetted with a binder soln. contg. 5% Methocel E5, 10% **polyvinylpyrrolidone**, and 85% purified **water**. In the second step, the wetted cores were treated with a dry mixt. including 90% Methocel K15M, 9% talc and 1% colloidal silica. Steps 1 and 2 are repeated until a wt. gain corresponding to 50% of total **tablet** wt. is achieved. The coated **tablets** showed a dissoln. time lag in excess of 300 min., followed by a quick disintegration of the **tablet**.

IT **9004-34-6, Cellulose**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**microcryst.**; prepn. of time-specific controlled-release capsules comprising drug-contg. core and swellable polymeric coatings)

IT **64-17-5, Ethyl alcohol**, biological studies **9000-01-5**, Arabic gum **9003-39-8**, **Polyvinylpyrrolidone 9004-64-2**,

Hydroxypropyl cellulose 9004-65-3,
Hydroxypropyl **methyl cellulose**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of time-specific controlled-release capsules comprising drug-contg. core and swellable polymeric coatings)
REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 9 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:866416 CAPLUS
DOCUMENT NUMBER: 134:21493
TITLE: Manufacture of buccal **tablets** containing vitamin K
INVENTOR(S): Ikematsu, Yasuyuki; Hashizume, Minoru; Nakamura, Masahiro; Ando, Hidenobu
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000344664	A2	20001212	JP 1999-151835	19990531

AB This invention relates to buccal **tablets** obtained by compressing wet powders contg. vitamin K adsorbed on **cryst**. **cellulose**, saccharides, and solvents (or binders). The **tablets** are dissolved in the mouth within 30s. Menatetrenone 3000 g was blended with 600 g **microcryst. cellulose** at 50.degree. and the blend was **granulated** by adding 450 mL **water/ethanol** (1:1). The dried **granules** 315 g were mixed with 196 g **water** contg. 10 % **PVP** K30 and kneaded. The mixt. was filled into a mold and compressed to give buccal **tablets** (280 mg each).

IT **9003-39-8, PVP 9004-64-2,**
Hydroxypropyl cellulose 9004-65-3,
Hydroxypropyl **methyl cellulose 9004-67-5**
, Methyl cellulose 9005-25-8,
Starch, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(manuf. of buccal **tablets** contg. vitamin K and binders)

IT **9004-34-6, Cellulose**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**microcryst.**; manuf. of buccal **tablets** contg. vitamin K and binders)

L28 ANSWER 10 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:616624 CAPLUS
DOCUMENT NUMBER: 133:198696
TITLE: Oral formulations containing sofalcone
INVENTOR(S): Iwata, Yukiya; Ochiai, Naoya; Hibino, Tsuneyuki
PATENT ASSIGNEE(S): Taiyo Pharmaceutical Industry Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent

LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000239162	A2	20000905	JP 1999-43435	19990222

AB Sofalcone particles (av. diam. .ltoreq.100 .mu.m) are compounded with **water**-sol. excipients and nonionic surfactants (HLB .gtoreq.5) to formulate oral compns. in liq. or solid forms. The compns. provide improved bioabsorption characteristics. Sofalcone (av. **granular** diam. .ltoreq.20 .mu.m) 250 g was mixed with **cryst. cellulose** 125 g, **starch** 250 g, D-mannitol 327.5 g, **hydroxypropyl cellulose** 30 g, Polysorbate 80 (dissolved in aq. **ethanol**) 7.5 g, and Mg stearate 10 g and compressed to give **tablets** (200 mg each).

L28 ANSWER 11 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:277846 CAPLUS
DOCUMENT NUMBER: 132:313699
TITLE: Fumaric acid microtablets
INVENTOR(S): Joshi, Rajendra Kumar; Strebel, Hans-Peter
PATENT ASSIGNEE(S): Fumapharm A.-G., Switz.
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000023068	A2	20000427	WO 1999-EP7568	19991008
WO 2000023068	A3	20000727		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19848260	A1	20000518	DE 1998-19848260	19981020
DE 19848260	C2	20020117		
CA 2329543	AA	20000427	CA 1999-2329543	19991008
AU 9960906	A1	20000508	AU 1999-60906	19991008
BR 9910267	A	20010109	BR 1999-10267	19991008
EP 1123092	A2	20010816	EP 1999-947484	19991008
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2000005239	A	20010521	NO 2000-5239	20001018
US 6355676	B1	20020312	US 2001-743978	20010117

PRIORITY APPLN. INFO.: DE 1998-19848260 A 19981020
WO 1999-EP7568 W 19991008

OTHER SOURCE(S): MARPAT 132:313699

AB Fumaric acid monoalkyl ester salts, optionally mixed with dialkyl

fumarates, are useful for prodn. of a pharmaceutical prepn. in the form of microtablets or micropellets for treatment of psoriatic arthritis, neurodermatitis, psoriasis, and Crohn's enteritis regionalis. Such prepns. do not induce the TNF-.alpha. secretion and accompanying gastrointestinal side effects assocd. with prepns. contg. only monoalkyl fumarate salts. Thus, Ca mono-Et fumarate 8.700, di-Me fumarate 12.000, Mg mono-Et fumarate 0.500, and Zn mono-Et fumarate 0.30 kg were mixed, sieved, combined with a **granulated** mixt. of Sta-Rx (**starch** deriv.) 18.00, **microcryst. cellulose** 0.30, **PVP** 0.75, Primojel 4.00, Aerosil 0.25 kg, Mg stearate 0.50, and talc 1.50 kg, and formed into 10.0-mg microtablets which were enteric coated with a soln. of **hydroxypropylmethylcellulose** phthalate 2.250 and castor oil 0.240 kg in a solvent mixt. of **acetone** 13.00, 94% EtOH 13.50, and demineralized **water** 1.50 L. After drying, the microtablets were film coated with a mixt. of talc 0.340, Ti(VI) oxide 0.400, red lacquer 0.324, 12.5% Eudragit E 4.800, PEG 6000 0.120, 2-PrOH 8.170, demineralized **water** 0.200, and triacetin 0.600 kg and dispensed into hard **gelatin** capsules.

L28 ANSWER 12 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:209872 CAPLUS
DOCUMENT NUMBER: 132:241967
TITLE: Method for preparing novel fenofibrate galenic formulations
INVENTOR(S): Laruelle, Claude; Gimet, Rene; Toselli, Dominique
PATENT ASSIGNEE(S): CLL Pharma, Fr.
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000016749	A1	20000330	WO 1999-FR2155	19990910
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2783421	A1	20000324	FR 1998-11611	19980917
FR 2783421	B1	20001124		
AU 9955235	A1	20000410	AU 1999-55235	19990910
BR 9913782	A	20010605	BR 1999-13782	19990910
EP 1112064	A1	20010704	EP 1999-941732	19990910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.:
FR 1998-11611 A 19980917
WO 1999-FR2155 W 19990910

AB The invention concerns a method for prepg. novel galenic

formulations for providing fenofibrate with enhanced bioavailability when it is orally absorbed, and consisting in: (a) micronizing fenofibrate; (b) **granulating** the fenofibrate in the presence of a liq. medium comprising a surfactant, **water** and **water**-miscible alc.; and (c) drying the resulting **granular** material. Said formulations are used for prepg. a medicine for oral administration and comprising fenofibrate as active principle, in particular for treating hypercholesterolemia and hypertriglyceridemia. A capsule contained fenofibrate 150, lactose monohydrate 25.9, **microcryst. cellulose** 13.5, povidone 5.2, sodium carboxymethyl **starch** 16.8, sodium lauryl sulfate 4.5, and magnesium stearate 2.2 mg. The Cmax and Tmax of fenofibrate was 9.36 .mu.g/mL and 4.4 h, resp.

IT **64-17-5, Ethanol**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method for prepg. novel fenofibrate galenic formulations)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 13 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:161117 CAPLUS
DOCUMENT NUMBER: 132:199075
TITLE: The use of fumaric acid derivatives in transplant medicine
INVENTOR(S): Joshi, Rajendra Kumar; Strebel, Hans-Peter
PATENT ASSIGNEE(S): Fumapharm Ag, Switz.
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012072	A2	20000309	WO 1999-EP6110	19990820
WO 2000012072	A3	20000504		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19839566	A1	20000309	DE 1998-19839566	19980831
DE 19839566	C2	20020117		
CA 2322188	AA	20000309	CA 1999-2322188	19990820
AU 9957378	A1	20000321	AU 1999-57378	19990820
EP 1107749	A2	20010620	EP 1999-944453	19990820
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9908722	A	20011016	BR 1999-8722	19990820
US 6359003	B1	20020319	US 2000-719189	20001208
NO 2000006462	A	20010430	NO 2000-6462	20001218

PRIORITY APPLN. INFO.: DE 1998-19839566 A 19980831

OTHER SOURCE(S): MARPAT 132:199075
WO 1999-EP6110 W 19990820

AB Fumaric acid C1-5-monoalkyl esters and their salts, alone or combined with a dialkyl fumarate, are useful in pharmaceutical prepns. for transplant medicine, esp. for treating, mitigating, or suppressing host-vs.-graft reactions. The fumaric acid monoalkyl esters can also be used for this purpose in conjunction with prepns. traditionally used in transplant medicine and with immunosuppressants such as cyclosporins. Thus, mono-Et fumarate Ca salt 10,000 was mixed with a **starch** deriv. (Sta-Rx 1500) 21,000, **microcryst. cellulose** 2000, prp 0.600, Primojel 4000, and colloidal silicic acid 0.300 kg, **granulated** with 2% aq. **PVP** soln., and pressed into 400-mg **tablets**. The **tablets** were enteric coated with a soln. of 2.250 kg **hydroxypropylmethylcellulose** phthalate in **H2O** 2.50, **acetone** 13.00, and 94% EtOH 13.00 L contg. 0.240 kg castor oil, followed by a film coating of 12.5% Eudragit E soln. 4.800, talc 0.340, Ti oxide 0.520, blue coloring 0.210, and PEG-6000 0.120 in a solvent mixt. of 2-PrOH 8.200, glycerin triacetate 0.060, and **H2O** 0.200 kg.

L28 ANSWER 14 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:633873 CAPLUS
DOCUMENT NUMBER: 131:233550
TITLE: Yunnanbaiyao **tablets** and preparation method
INVENTOR(S): Lin, Tianqing
PATENT ASSIGNEE(S): Yunan Baiyao Industry Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 9 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1137406	A	19961211	CN 1996-103380	19960408
CN 1053581	B	20000621		

AB The title **tablets** are prepd. by mixing yunnanbaiyao powder 2.5-5, **starch** 0.07-0.1, low-substitution **hydroxypropyl cellulose** 0.1-0.6, and **microcryst. cellulose** 0.08-0.2 Kg, adding an appropriate amt. of **ethanol** or distd. **water**, stirring, filtering, subjecting to **granulation**, drying at 40-100.degree., mixing with 0.02-0.08 Kg Mg stearate and tableting.

IT **9004-64-2, Hydroxypropyl cellulose**
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(low-substitution; yunnanbaiyao **tablets** and prepn. method)

IT **9004-34-6, Cellulose**, biological studies
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(**microcryst.**; yunnanbaiyao **tablets** and prepn. method)

IT **9005-25-8, Starch**, biological studies
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(yunnanbaiyao **tablets** and prepn. method)

L28 ANSWER 15 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:113540 CAPLUS
DOCUMENT NUMBER: 130:187185
TITLE: Oral pharmaceutical preparation comprising an antiulcer activity compound, and a process for its production
INVENTOR(S): Picornell Darder, Carlos
PATENT ASSIGNEE(S): Intexim, S.A., Spain
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Spanish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906032	A2	19990211	WO 1998-ES204	19980713
WO 9906032	A3	19990812		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ES 2137862	A1	19991216	ES 1997-1816	19970731
ES 2137862	B1	20000916		
AU 9882173	A1	19990222	AU 1998-82173	19980713
EP 1010423	A2	20000621	EP 1998-932185	19980713
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001511443	T2	20010814	JP 2000-504847	19980713
ZA 9806893	A	19990127	ZA 1998-6893	19980731
ES 2156699	A1	20010701	ES 1999-157	19990127
ES 2156699	B1	20020301		
NO 2000000435	A	20000323	NO 2000-435	20000127

PRIORITY APPLN. INFO.:
ES 1997-1816 A 19970731
WO 1998-ES204 W 19980713

OTHER SOURCE(S): MARPAT 130:187185

AB The formulation comprises an inert nucleus and an active layer which is sol. or which disintegrates in **water** and is obtained from a unique aq. or hydro-alc. soln.-suspension which comprises: an active principle having an antiulcer activity and at least one excipient; and a gastroresistant external coating layer obtained from a soln. which comprises an enteric covering polymer and at least one excipient. The process is carried out by (1) covering the inert nucleus by nebulization of the aq. or hydroalcoholic

suspension-soln.; (2) drying the active layer formed during the nebulization of the prior step; and (3) covering the nucleus charged through nebulization with the soln. comprising an enteric coating polymer with at least one excipient to obtain an external gastroresistant coating layer.

IT **9004-34-6, Cellulose**, biological studies
RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(**microcryst.**; oral pharmaceutical prepn. comprising an antiulcer agent and a process for its prodn.)

IT **9000-01-5, Gum arabic 9000-07-1**, Carrageenin **9000-65-1**, Tragacanth **9003-39-8**, **Polyvinylpyrrolidone 9004-32-4 9004-64-2**, **Hydroxypropylcellulose 9004-65-3**, **Hydroxypropylmethylcellulose 9004-67-5**, **Methylcellulose 9005-25-8, Starch**, biological studies **9005-32-7, Alginic** acid
RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(oral pharmaceutical prepn. comprising an antiulcer agent and a process for its prodn.)

IT **64-17-5, Ethanol**, uses **7732-18-5, Water**, uses
RL: NUU (Other use, unclassified); USES (Uses)
(oral pharmaceutical prepn. comprising an antiulcer agent and a process for its prodn.)

L28 ANSWER 16 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:77461 CAPLUS
DOCUMENT NUMBER: 130:129998
TITLE: Method for stabilizing active substances for controlled release pharmaceutical formulation
INVENTOR(S): Kofler, Bojan; Rebic, Ljubomira Barbara; Sirca, Judita; Venturini, Peter
PATENT ASSIGNEE(S): Lek, Tovarna Farmacevtskih In Kemicanih Izdelkov, Slovenia
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9903453	A1	19990128	WO 1998-SI14	19980713
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9882523	A1	19990210	AU 1998-82523	19980713

EP 1003487 A1 20000531 EP 1998-932706 19980713
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO
PRIORITY APPLN. INFO.: SI 1997-186 19970714
WO 1998-SI14 19980713

AB Disclosed is a method for stabilizing active substances that are unstable in acidic medium, unstable when stored for longer periods of time in the presence of **water** and at the same time sensitive to heating, by means of anhyd. **granulation** of active substances and dried pharmaceutically acceptable auxiliary substances for the prepn. of pellet cores or **granules**. All pharmaceutically acceptable auxiliary substances employed are dried before use so that their wt. loss at drying is less than 1.0 % of the total wt. of the pharmaceutically acceptable auxiliary substance, preferably less than 0.5 %. Org. solvents used in process of anhyd. **granulation** should contain less than 0.2 % of **water**. A novel pharmaceutical formulation with controlled release of active substances that are unstable in acidic medium, unstable when stored for longer periods of time in the presence of **water** and at the same time sensitive to heating, is disclosed as well. Pellet cores 1000 g were prepd. by anhyd. **granulation** process from polysorbate 80 2 g dissolved in, abs. **ethanol**, omeprazol 100, dried lower-substituted **hydroxypropyl cellulose** 100, dried **microcryst. cellulose** 100, dried mannitol 598, and dried **polyvinylpyrrolidone** 50 g. The pellet cores were coated with dried hydroxypropylmethyl cellulose phthalate and di-Bu sebacate dissolved in a mixt. of abs. **ethanol** and **acetone** for gastro-resistance and filled into hydroxypropylmethyl cellulose capsules.

IT **9003-39-8, Polyvinyl pyrrolidone**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(binder; controlled release pharmaceuticals in which active substance is stabilized)

IT **9004-32-4 9004-64-2, Hydroxypropyl cellulose 9004-65-3,** Hydroxypropylmethyl cellulose **9004-67-5, Methyl cellulose**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(controlled release pharmaceuticals in which active substance is stabilized)

IT **9004-32-4, Sodium carboxymethyl cellulose**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(intermediate coating; controlled release pharmaceuticals in which active substance is stabilized)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:402755 CAPLUS
DOCUMENT NUMBER: 129:58842
TITLE: Long-lasting oral preparations containing dihydropyridines and manufacture of the preparations
INVENTOR(S): Oishi, Katsutoshi; Kumagaya, Eiji; Masuda, Hirotaka; Ijima, Masanori
PATENT ASSIGNEE(S): Nippon Chemiphar Co., Ltd., Japan; Nippon

SOURCE: Yakuhin Kogyo K. K.
Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10167966	A2	19980623	JP 1996-337443	19961203

AB The prepns. are manufd. by dissolving **water**-immiscible 4-phenyl-1,4-dihydropyridine-3,5-dicarboxylate diesters in org. solvents, adsorbing the solns. into MgCO3, then mixing with inactive ingredients. The dihydropyridines show relatively long half life in blood. Nitrendipine was dissolved in CH2Cl2-EtOH mixt., mixed with MgCO3, **cryst. cellulose**, lactose, and **hydroxypropyl cellulose, granulated**, and molded into **tablets**, from which nitrendipine was effectively released in elution test.

IT **64-17-5, Ethanol**, uses
RL: NUU (Other use, unclassified); USES (Uses)
(solvent; manuf. of long-lasting oral prepns. contg. dihydropyridines and magnesium carbonate)

L28 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:611297 CAPLUS
DOCUMENT NUMBER: 127:253207
TITLE: Controlled-release compositions for pain control
INVENTOR(S): Nara, Eiji; Akiyama, Yohko; Nakamura, Kenji
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 793959	A1	19970910	EP 1997-103604	19970305
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 6245351	B1	20010612	US 1997-812939	19970304
CA 2199345	AA	19970907	CA 1997-2199345	19970306
CN 1164424	A	19971112	CN 1997-109607	19970306
JP 09295933	A2	19971118	JP 1997-51756	19970306
JP 3134187	B2	20010213		

PRIORITY APPLN. INFO.: JP 1996-50613 A 19960307

AB A controlled-release compn. comprises a drug-contg. core coated with a compn. contg. a **water**-insol. substance and a swellable polymer having no basic groups which is capable of maintaining an almost const. drug concn. in plasma over an extended period of time to ensure sustained drug action in the body. A mixt. contg. morphine.cntdot.HCl 110, lactose 480, corn **starch** 300, **microcryst. cellulose** 150, Ca CM-**cellulose** 30, and **hydroxypropyl cellulose** 30 g was add to an aq. soln. contg. Pluronic F68. The resulting mixt. was kneaded,

extruded, and **granulated** and the **granules** were sprayed with hydroxypropyl **Me cellulose** dissolved in a mixt. of **ethanol** and **water** to yield coated core **granules**. The resulting coated **granules** were then spray coated with a coating soln. comprising Et cellulose, hydroxypropyl **Me cellulose**, and Hiviswako 104.

IT **9004-64-2**, **Hydroxypropyl cellulose**
9004-65-3, Hydroxypropyl **methyl cellulose**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(controlled-release oral compns. for pain control)

L28 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:377877 CAPLUS
DOCUMENT NUMBER: 126:347314
TITLE: Wet **granulation** formulation of a growth hormone secretagogue
INVENTOR(S): Asgharnejad, Mandana; Draper, Jerome P.; Dubost, David C.; Kaufman, Michael J.; Storey, David E.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA; Asgharnejad, Mandana; Draper, Jerome P.; Dubost, David C.; Kaufman, Michael J.; Storey, David, E.
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9715191	A1	19970501	WO 1996-US17196	19961023
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2234817	AA	19970501	CA 1996-2234817	19961023
AU 9675228	A1	19970515	AU 1996-75228	19961023
EP 857020	A1	19980812	EP 1996-937761	19961023
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11513989	T2	19991130	JP 1996-516841	19961023
US 6123964	A	20000926	US 1998-66469	19981027

PRIORITY APPLN. INFO.:

US 1995-5897P	P	19951027
US 1995-5901P	P	19951027
GB 1996-3238	A	19960216
GB 1996-3834	A	19960223
WO 1996-US17196	W	19961023

AB The present invention relates to a pharmaceutical compn. and a process for the prepn. of a **tablet** contg. a growth hormone secretagogue as the active ingredient. The **tablet** is prepd. by forming a powder blend of the active ingredient N-[1(R)-[(1,2-dihydro-1-methanesulfonyl-spiro[3H-indole-3,4'-piperidin]-1'-yl)carbonyl]-2-(phenylmethyl-oxy)ethyl]-2-amino-2-methyl-propanamide, or a pharmaceutically acceptable salt thereof,

in particular the methanesulfonate salt, with a binder/diluent, a first diluent, a second diluent, a first portion of a disintegrant, and a lubricant; wet **granulating** the powder blend with a soln. of **ethanol/water** to form **granules** ; drying the **granules** to remove the **ethanol/water**; adding a second portion of a disintegrant; lubricating the **granules**; and compressing the dried **granules** into the desired **tablet** form. The present invention further relates to a novel amorphous form of the compd. N-[1(R)-[(1,2-dihydro-1-methanesulfonyl-spiro[3H-indole-3,4'-piperdin]-1'-yl)carbonyl]-2-(phenylmethyl-oxy)ethyl]-2-amino-2-methylpropanamide methanesulfonate which is produced directly as a result of the process of **tablet** formulation.

IT **9003-39-8, Polyvinylpyrrolidone 9004-64-2 , Hydroxypropyl cellulose 9004-65-3, Hydroxypropylmethylcellulose**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(binder or diluent; formulation of **tablets** of growth hormone secretagogues using a wet **granulation** step)

IT **9004-34-6, Cellulose**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**microcryst.**, diluent; formulation of **tablets** of growth hormone secretagogues using a wet **granulation** step)

IT **9005-25-8, Starch**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pregelatinized, binder or diluent; formulation of **tablets** of growth hormone secretagogues using a wet **granulation** step)

L28 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:349665 CAPLUS
DOCUMENT NUMBER: 127:55760
TITLE: Effect of polymorphic transformation during the extrusion-**granulation** process on the pharmaceutical properties of carbamazepine **granules**
AUTHOR(S): Otsuka, Makoto; Hasegawa, Hitoshi; Matsuda, Yoshihisa
CORPORATE SOURCE: Department of Pharmaceutical Technology, Kobe Pharmaceutical University, Higashi-Nada, 658, Japan
SOURCE: Chem. Pharm. Bull. (1997), 45(5), 894-898
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The effects of a solvent system on the pharmaceutical properties of carbamazepine (CBZ) **granules** contg. a polymorphic form of bulk powder were investigated by x-ray diffraction anal., thermal anal., mercury porosimetry and Brunauer-Emmett-Teller (BET) surface area measurement. A powder mixt. consisting of 20% CBZ form I, as a bulk powder, 56% **cryst**. .alpha.-lactose monohydrate and 24% corn **starch** was used as a pharmaceutical powder, with the 3 kinds of binder solns. (distd. **water**, 50% aq. **ethanol** and **ethanol**) contg. 5% **hydroxypropyl cellulose** (HPC). After kneading with a binder soln., the **granules** were obtained using an

extruding **granulator**. The x-ray diffraction and DSC results of the **granules** indicated that form I with 50% **ethanol** soln. transformed into a dihydrate form during extruding **granulation**, but this did not occur with the distd. **water** or **ethanol** solns. The order of hardness and sp. surface area (Sw) of the **granules** was distd. **water** >50% **ethanol** >**ethanol** and 50% **ethanol** >**ethanol** >distd. **water**. The stress-thickness profiles of the tabletting compression processes of CBZ **granules** obtained using various binder soln. systems were measured, and the initial compression process due to particle rearrangement was affected by the characteristics in the **granules**. The total pore vol. of **tablets** obtained from 50% **ethanol** was the lowest, and their order was **ethanol** >distd. **water** >50% **ethanol**. Their order of **tablet** hardness reflected the total pore vol. of the **tablet**, and was 50% **ethanol** >distd. **water** >**ethanol**. All pharmaceutical properties of the **granules** and/or **tablets** contg. CBZ were affected by the characteristics of the solvent systems in binder soln.

IT **9004-64-2**, **Hydroxypropyl cellulose**
9005-25-8, **Starch**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polymorph transformation during extrusion-**granulation** effect on properties of carbamazepine **granules**)

L28 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:678792 CAPLUS
DOCUMENT NUMBER: 119:278792
TITLE: Enteric dosage forms of acid-labile antacids containing stabilizers
INVENTOR(S): Ooishi, Naohiro; Shibata, Toshuki; Ikeda, Kuniki
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05255088	A2	19931005	JP 1992-273736	19920917
PRIORITY APPLN. INFO.:			JP 1991-318337	19911105

AB Enteric-coated prepns. of acid-labile benzimidazole-type antacids with improved dissoln. characteristics are prepd. by incorporating Al(OH)3.cntdot.NaHCO3 coppt. (I) in a core and/or undercoating layers. For example, **granules** contg. omeprazole 5.0, I 5.0, **cryst. cellulose** 4.0, low-substituted **hydroxypropyl cellulose** 4.0, **hydroxypropyl cellulose** 0.5, and mannitol 56.5 part were coated with (1) an undercoating compn. contg. hydroxypropyl **Me cellulose** 3.5, I 1.5, talc 0.5, and distd. **water** 64.5 parts, (2) an undercoating compn. contg. hydroxypropyl **Me cellulose** 3.5, TiO2 2.5, talc 0.5, and distd. **water** 64.5 parts, and (3) an enteric coating compn. contg. hydroxypropyl **Me cellulose** phthalate 10.7,

cetanol 0.5, talc 1.8, methylene chloride 33.0, **ethanol** 86.0, and distd. **water** 33.0 parts.

L28 ANSWER 22 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:578251 CAPLUS
DOCUMENT NUMBER: 117:178251
TITLE: Application of the solid dispersion method to controlled release of medicine. II. Sustained-release **tablet** using solid dispersion **granule** and the medicine release mechanism
AUTHOR(S): Yuasa, Hiroshi; Ozeki, Tetuya; Kanaya, Yoshio; Oishi, Katsutoshi
CORPORATE SOURCE: Tokyo Coll. Pharm., Hachioji, 192-03, Japan
SOURCE: Chem. Pharm. Bull. (1992), 40(6), 1592-6
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In a previous paper, the utility of the solid dispersion for the control of medicine release was studied and the solid dispersion was prepd. by the evapn. of **ethanol** after dissolving a **water** sol. medicine (oxprenolol-HCl)(I), sol. **hydroxypropyl cellulose** (HPC) and insol. Et cellulose (EC) into **ethanol**. In this paper, the tableting of the above mentioned solid dispersion **granule** and the mechanism of medicine release from this solid dispersion **granule** were studied. **Microcryst. cellulose** was used as the excipient in this tableting. The disintegration time, crushing strength and porosity were measured for the obtained **tablets**. The pore size distribution in the solid dispersion **granules** was measured before and after the dissoln. test with a mercury porosimeter to clarity the mechanism of medicine release from the **granules**. The state of medicine in the **granules** was analyzed by IR spectrometry, thermal anal. and x-ray diffractometry. As a result, it was clarified that I in EC was released from the **granules** by diffusing and dissolving into the medium in the channels formed by the dissolving of HPC and I, as inferred in the previous paper. Furthermore, the compression pressure and pH scarcely affected the dissoln. behavior of I from the **granules**. It was thought that the homogeneity of the content of I in the **granules** was very high, and the dissoln. rate from the **granules** could be controlled by the particle size of the **granules** and the compn. ratio of EC and HPC in the **granules**. These results suggest the solid dispersion **granule** and the **tablet** prepd. with this **granule** are useful for the sustained-release **granule** and **tablet**.

IT **64-17-5, Ethanol**, biological studies
RL: BIOL (Biological study)
(in prepn. of solid dispersion **granules** for sustained-release **tablets**)

IT **9004-64-2, Hydroxypropyl cellulose**
RL: BIOL (Biological study)
(solid dispersion **granules** contg., for prepn. of sustained-release **tablets**)

L28 ANSWER 23 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:557669 CAPLUS

DOCUMENT NUMBER: 117:157669
TITLE: Sustained-release pranoprofen preparation
INVENTOR(S): Fushimi, Masunari; Kanbe, Hideyoshi; Kasai, Shuichi; Iwasa, Akira; Sawayanagi, Yoichi
PATENT ASSIGNEE(S): SS Pharmaceutical Co., Ltd., Japan; Dojin Iyaku-Kako Co., Ltd.
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 498372	A1	19920812	EP 1992-101830	19920204
EP 498372	B1	19961009		
R: BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
JP 04257519	A2	19920911	JP 1991-17769	19910208
JP 2829794	B2	19981202		
CA 2060493	AA	19920809	CA 1992-2060493	19920131
US 5225206	A	19930706	US 1992-830919	19920204
ES 2095337	T3	19970216	ES 1992-101830	19920204

PRIORITY APPLN. INFO.: JP 1991-17769 19910208

AB A sustained-release pranoprofen (I) formulation comprises an effective amt. of the drug and one or more sustained-release components, i.e. matrix- and film-forming components, from the group consisting of oily, **water**-sol., **water**-insol., and intestinally-sol. components. Sustained-release beads (275.0 g), prepd. by wet **granulation** of I 1260, hydrogenated castor oil and stearic acid 320 each, and **microcryst. cellulose** 300 g, were blended with I 67.5, **microcryst. cellulose** 333.5, colloidal silica 7.0, Mg stearate 10.0, and talc 7.0 g, and compressed into sustained-release **tablets** (diam. 9 mm, wt. 350 mg).

IT **64-17-5, Ethanol**, biological studies
67-63-0, Isopropanol, biological studies
9003-39-8, Polyvinylpyrrolidone 9004-64-2, Hydroxypropyl cellulose
RL: BIOL (Biological study)
(coating compn. for pranoprofen sustained-release beads contg.)

IT **9004-34-6, Cellulose**, biological studies
RL: BIOL (Biological study)
(**microcryst.**, pranoprofen sustained-release formulations contg.)

IT **9004-67-5, Methyl cellulose**
9005-25-8, Starch, biological studies
RL: BIOL (Biological study)
(pranoprofen sustained-release formulations contg.)

L28 ANSWER 24 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:28167 CAPLUS
DOCUMENT NUMBER: 116:28167
TITLE: Manufacture of sustained-release solid nifedipine preparations
INVENTOR(S): Oishi, Katsutoshi; Aomatsu, Akira
PATENT ASSIGNEE(S): Nippon Yakuhin Kogyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.

CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03169814	A2	19910723	JP 1989-307484	19891129

AB Nifedipine (I) (1 wt. part) and 0.5-3.0 wt. parts **water**-sol. polymers are dissolved in org. solvents, mixed with 3.0-10.0 wt. parts **water**-insol. polymers, and made into **granules** with wet method to manuf. the title prepns. I (200 g) and 200 g **poly(vinylpyrrolidone)** were dissolved in a mixt. of 600 mL CH_2Cl_2 and 200 mL EtOH, mixed with 990 g **cryst. cellulose** and 10 g stearic acid, and the mixt. was made into **granules**, which (700 g) were mixed with 150 g CMC and made into **tablets** (contg. 10 mg I/85 mg **tablet**). The **tablets** showed good sustained-release property and released .apprx.70% I in **H2O** (37.degree.) both at pH 1.2 and 7.4, 5 h later, vs. .apprx.50%, for controls manufd. similarly but without EtOH.

IT **9003-39-8**, **Poly(vinylpyrrolidone)**
9004-64-2, **Hydroxypropyl cellulose**
9004-65-3, (Hydroxypropyl)**methylcellulose**
9004-67-5, **Methyl cellulose**
RL: BIOL (Biological study)
(nifedipine sustained-release **tablets** contg.)

IT **64-17-5**, **Ethanol**, uses **67-56-1**, **Methanol**, uses
RL: USES (Uses)
(solvent for manufg. sustained-release nifedipine **tablets**)

L28 ANSWER 25 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:153568 CAPLUS
DOCUMENT NUMBER: 112:153568
TITLE: Use of phytic acid as an antidote for alcohol and poisons
INVENTOR(S): Sawai, Kiichi; Kurono, Masayasu; Asai, Hiromoto; Mitani, Takahiko; Ninomaya, Naohisa; Sugiyama, Takao; Furukawa, Eiji; Michishita, Hisashi
PATENT ASSIGNEE(S): Sanwa Kagaku Kenkyusho Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 341810	A2	19891115	EP 1989-302267	19890307
EP 341810	A3	19901010		
EP 341810	B1	19930623		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
JP 01287035	A2	19891117	JP 1988-116338	19880513
US 4929438	A	19900529	US 1989-310162	19890215

ZA 8901357	A	19891227	ZA 1989-1357	19890222
AT 90874	E	19930715	AT 1989-302267	19890307
ES 2010435	A6	19891101	ES 1989-835	19890308
AU 8933106	A1	19891116	AU 1989-33106	19890417
AU 610795	B2	19910523		
CN 1037653	A	19891206	CN 1989-103144	19890510

PRIORITY APPLN. INFO.: JP 1988-116338 19880513
EP 1989-302267 19890307

AB Phytic acid or a nontoxic salt is used to treat or prevent drug or alc. poisoning. Rabbits were treated with Na phytate for 4 days, they were fasted for 24 h, and then 2 g EtOH/kg was administered. The amt. of alc. and acetaldehyde in the blood was reduced compared to that of rabbits not treated first with Na phytate. NaOH 116, KOH 478, KCl 6.08, Na2HPO4 157, phytic acid 660 g, **H2O** suitable amt. were mixed to obtain a liq. A adjusted to pH 9. Lactose was added to liq. A (contg. 200 mg phytic acid) to obtain a total of 1000 mg of compn. A. A **tablet** formulation comprised compn. A 100, corn **starch** 19 **cryst. cellulose** 30, and Mg stearate 1 mg.

IT **64-17-5**
RL: BIOL (Biological study)
(alcoholic beverages, phytic acid in, for alc. or drug poisoning prevention and treatment)

IT **64-17-5, Ethanol**, biological studies
RL: BIOL (Biological study)
(poisoning by, treatment of, with phytic acid)

L28 ANSWER 26 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1989:580687 CAPLUS
DOCUMENT NUMBER: 111:180687
TITLE: Pharmaceutical **tablet** with rapidly disintegrating core **granulate**
INVENTOR(S): Appelgren, Curt Henry; Eskilsson, Eva Christina; Uvdal, Jonas Paul
PATENT ASSIGNEE(S): Lejus Medical AB, Swed.
SOURCE: Eur. Pat. Appl., 9 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 237506	A1	19870916	EP 1987-850052	19870213
EP 237506	B1	19910814		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
SE 8600657	A	19870815	SE 1986-657	19860214
SE 457326	B	19881219		
SE 457326	C	19890420		
AT 66141	E	19910815	AT 1987-850052	19870213
CA 1297018	A1	19920310	CA 1987-529687	19870213
ES 2029285	T3	19920801	ES 1987-850052	19870213
US 4840799	A	19890620	US 1987-15011	19870217

PRIORITY APPLN. INFO.: SE 1986-657 19860214
EP 1987-850052 19870213

AB A rapidly disintegrating core **granulate** contg. a pharmaceutical is prepd. by adding the pharmaceutical, optionally

with an emulsifier, to a solvent, optionally reducing the particle size, distributing the compn. over a bed of a solid, preferably **water**-sol. material, and drying the agglomerate. The core **granulate** may be coated with a release-regulating coating. Thus, a mixt. of nifedipine 250 g, EtOH-**H2O** (40:60) solvent 355 mL, SDS 15 g, and Tween 80 emulsifier 50 g was homogenized and spread over a bed of mannitol 585, **microcryst. cellulose** 50, and 2-**hydroxypropylcellulose** 50 g. The moist bed was then extruded, spheronized, and dried. The release of nifedipine from the resulting core in a standardized test was 66% in 1 h and 94% in 3 h.

IT **9004-64-2**, 2-**Hydroxypropyl cellulose**
RL: USES (Uses)
(rapidly disintegrating **tablet** cord **granulate** contg.)

IT **9003-39-8**, **Polyvinylpyrrolidone 9004-32-4**, Carboxymethyl cellulose **9005-25-8**, **Starch**, uses and miscellaneous
RL: BIOL (Biological study)
(**tablet** rapidly disintegrating cord **granulate** contg.)

IT **64-17-5**, **Ethanol**, uses and miscellaneous
67-63-0, **Isopropanol**, uses and miscellaneous
7732-18-5, **Water**, uses and miscellaneous
RL: BIOL (Biological study)
(**tablet** rapidly disintegrating cord **granulate** prepn. with)

L28 ANSWER 27 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1986:578436 CAPLUS
DOCUMENT NUMBER: 105:178436
TITLE: Film-forming dispersion for pharmaceutical coatings
INVENTOR(S): Baluch, Josef; Chalabala, Milan; Koblas, Karel; Likarova, Eva; Rak, Jan
PATENT ASSIGNEE(S): Czech.
SOURCE: Czech., 5 pp.
CODEN: CZXXA9
DOCUMENT TYPE: Patent
LANGUAGE: Czech
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CS 229045	B	19840514	CS 1983-1535	19830304

AB An aq. dispersion for coating of **tablets**, **granules**, pellets, and **cryst.** components in pharmaceutical, food, and chem. products contains 1-10% **H2O**-sol. **cellulose** deriv., e.g. Na hydroxyethyl **cellulose** (I), 5-20% (related to the **cellulose** deriv.) poly ethylene glycol(II) mol. wt. 1000-20,000, 1-4% (of the **cellulose** deriv.) Mg stearate, 4-16% C1-3 alkanol, **H2O**, and optionally fillers, diluents, dispersion stabilizers, dyes, pigments, flavors, and preservatives. Smooth and elastic coating is obtained without org. solvents and with decreased exposure of coated substrate to **H2O**. A typical coating dispersion was prepd.

from II (mol. wt. = 6000) 1.6, I 14, Ca stearate 0.45 g, 60 mL EtOH, and 600 mL **H2O**.

IT **9004-32-4**
RL: BIOL (Biological study)
(pharmaceutical film coating contg.)

IT **64-17-5**, biological studies
RL: BIOL (Biological study)
(pharmaceutical film coating contg. hydroxy alkyl cellulose and)

L28 ANSWER 28 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1985:84416 CAPLUS
DOCUMENT NUMBER: 102:84416
TITLE: Two-phase nifedipine pharmaceutical formulation
INVENTOR(S): Hegasy, Ahmed; Rupp, Roland; Raemsch, Klaus; Luchtenberg, Helmut
PATENT ASSIGNEE(S): Bayer A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

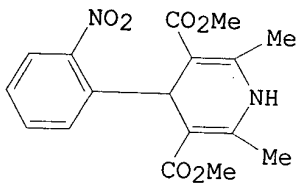
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3318649	A1	19841122	DE 1983-3318649	19830521
US 4562069	A	19851231	US 1984-606104	19840502
NO 8401838	A	19841122	NO 1984-1838	19840508
NO 164817	B	19900813		
NO 164817	C	19901219		
EP 126379	A2	19841128	EP 1984-105235	19840509
EP 126379	A3	19860709		
EP 126379	B1	19890419		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 42198	E	19890515	AT 1984-105235	19840509
AU 8428060	A1	19841122	AU 1984-28060	19840516
AU 564263	B2	19870806		
ES 532515	A1	19850616	ES 1984-532515	19840516
BE 899691	A1	19841119	BE 1984-212957	19840517
FI 8401995	A	19841122	FI 1984-1995	19840517
FI 82376	B	19901130		
FI 82376	C	19910311		
DK 8402472	A	19841122	DK 1984-2472	19840517
DK 163278	B	19920217		
DK 163278	C	19920907		
JP 59222475	A2	19841214	JP 1984-97625	19840517
GB 2139892	A1	19841121	GB 1984-12820	19840518
GB 2139892	B2	19870423		
ZA 8403769	A	19841224	ZA 1984-3769	19840518
FR 2550092	A1	19850208	FR 1984-7731	19840518
FR 2550092	B1	19871120		
HU 34690	O	19850429	HU 1984-1934	19840518
HU 193287	B	19870928		
DD 222495	A5	19850522	DD 1984-263172	19840518
CH 658190	A	19861031	CH 1984-2465	19840518
CS 250663	B2	19870514	CS 1984-3770	19840518
IL 71871	A1	19870731	IL 1984-71871	19840518
CA 1228550	A1	19871027	CA 1984-454635	19840518

PL 142890	B1	19871231	PL 1984-247744	19840518
AT 8401648	A	19900115	AT 1984-1648	19840518
AT 390879	B	19900710		

PRIORITY APPLN. INFO.:

DE 1983-3318649	19830521
EP 1984-105235	19840509

GI



I

AB A 2-phase oral solid pharmaceutical consists of a combination of a nifedipine (I) [21829-25-4] coppt. in which I exists in noncryst. form, and a cryst. I part. **Poly(vinylpyrrolidone**) (**PVP**) [**9003-39-8**], **Me cellulose** [**9004-67-5**], **hydroxypropyl cellulose** [**9004-64-2**], or hydroxypropyl **Me cellulose** [**9004-65-3**] are used. as coppt. formers. Thus, 10 g I is mixed with 40 g **PVP** and dissolved in 60 g **acetone** and the soln. is **granulated** with a mixt. of **microcryst. cellulose** 105, corn **starch** 20, and crosslinked **PVP** 10 g. The entire mass is dried in a vacuum, sieved and mixed again with crosslinked **PVP** 14.6, corn **starch** 20 and Mg stearate 0.4 g. A 2nd mixt. of I 20, **microcryst. cellulose** 34.8, corn **starch** 12, and lactose 10 g was **granulated** with a paste contg. 2 g corn **starch** in **water** and 1 g Tween 80. The mass was dried and sieved and mixed with 0.2 g Mg stearate. The 2 **granulates** were mixed and filled in capsules or compressed into **tablets**.

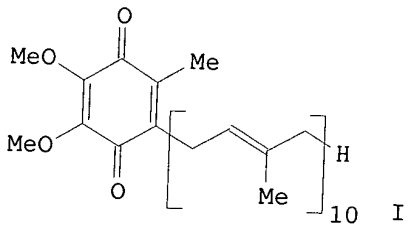
IT **9003-39-8 9004-64-2 9004-65-3 9004-67-5**
RL: BIOL (Biological study)
(two-phase pharmaceutical **granules** contg. nifedipine and)

L28 ANSWER 29 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1981:503319 CAPLUS
DOCUMENT NUMBER: 95:103319
TITLE: Ubidecarenone **tablet** formulation
PATENT ASSIGNEE(S): Kyorin Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 56053613 A2 19810513 JP 1979-128853 19791008

GI



I

AB Ubidecarenone (I) [303-98-0] for angina pectoris and ischemia treatment is formulated with sucrose [57-50-1] and **gelatin**, which act as stabilizers at temps. >50.degree.. Thus, sucrose 300, **cryst. cellulose** 400, and corn **starch** 230 g were mixed, and blended with 340 g I (15 wt.% in **acetone**) and 230 g **gelatin** (7 wt.% in **H2O**). The mixt. was dried, **granulated**, mixed with 5 g Mg stearate, and made into **tablets** (100 mg each).

L28 ANSWER 30 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1976:437258 CAPLUS
DOCUMENT NUMBER: 85:37258
TITLE: Stable yeast and vitamin compositions for treatment of drunkenness
PATENT ASSIGNEE(S): Ceres Products Co., Inc., USA
SOURCE: Japan. Kokai, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50089526	A2	19750718	JP 1973-137426	19731211
JP 54002248	B4	19790205		

AB Stable yeast and vitamin prepns. for treating EtOH [**64-17-5**] intoxication are prepd. by mixing edible yeast creams (contg. >10% solid) with thiamine [59-43-8], riboflavine [83-88-5], and niacin [59-67-6], followed by dehydrating under mild conditions to produce a prepn. contg. <8% **H2O**. Thus, thiamine, riboflavine, niacin and **gum arabic** were mixed, followed by adding washed Saccharomyces cerevisiae pastes or creams, sterilizing at 180.degree.F for 15-20 min, drying at 390-400.degree. F, and pulverizing to give **granules** (av. 16 mesh). The **granules** were tableted with corn **starch** and **microcryst. cellulose** to give **tablets** (0.222 .times. 0.55 inch) having a disintegratingd time of 10 min.

IT **64-17-5**, biological studies
RL: BIOL (Biological study)
(intoxication by, vitamin-yeast compn. for treatment of)

(FILE 'MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH,

JICST-EPLUS, JAPIO' ENTERED AT 10:08:07 ON 18 APR 2002)

L29 37 S L28

L30 34 DUP REM L29 (3 DUPLICATES REMOVED)

L30 ANSWER 1 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD

ACCESSION NUMBER: 2002-026101 [03] WPIDS
DOC. NO. CPI: C2002-007357
TITLE: A solid unit dosage form comprises citalopram prepared by direct compression, useful as a selective, centrally active serotonin reuptake inhibitor with antidepressant properties.
DERWENT CLASS: B02
INVENTOR(S): HOLM, P; LILJEGREN, K; NIELSEN, O; WAGNER, S
PATENT ASSIGNEE(S): (LUND) LUNDBECK AS H
COUNTRY COUNT: 96
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2001080619	A2	20011101	(200203)*	EN	18
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZW					
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW					
DE 20113195	U1	20011115	(200203)		
AU 2001079591	A	20011107	(200219)		
FR 2812811	A1	20020215	(200220)		
CA 2353693	A1	20020122	(200221)	EN	

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2001080619	A2	WO 2001-DK520	20010730
DE 20113195	U1	DE 2001-20113195	20010809
AU 2001079591	A	AU 2001-79591	20010730
FR 2812811	A1	FR 2001-10586	20010808
CA 2353693	A1	CA 2001-2353693	20010724

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 2001079591	A	Based on	WO 200180619

PRIORITY APPLN. INFO: DK 2000-1614 20001027; DK 2000-1202 20000810

AN 2002-026101 [03] WPIDS

AB WO 200180619 A UPAB: 20020114
NOVELTY - A solid unit dosage form comprises Citalopram (RTM: 1-(3-(dimethylamino)propyl)-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile) and is prepared by direct compression of a mixture of citalopram base or a salt and excipients, or by filling the mixture in a hard **gelatin** capsule.
DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for

the following:

(a) **crystals** of a salt of citalopram; and

(b) manufacture of the **crystals** of a salt of citalopram comprising cooling a solution of the salt, seeding with **crystals** of citalopram salt, holding at this temperature and then controlled cooling to isolate the **crystals** conventionally.

ACTIVITY - Antidepressant.

MECHANISM OF ACTION - Serotonin reuptake inhibitor.

USE - The dosage is in the form of a **tablet** which acts as a selective, centrally active serotonin reuptake inhibitor with antidepressant properties.

ADVANTAGE - The dosage form has a large particle size and can be prepared by direct compression. The process does not need a **granulation** step and a drying step.

Dwg.0/0

L30 ANSWER 2 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD

ACCESSION NUMBER: 2001-611381 [70] WPIDS

DOC. NO. CPI: C2001-182645

TITLE: Composition for use in treating type 2 diabetes, comprises 5-chloro-1H-indole-2-carboxylic acid ((1S)-benzyl-(2R)-hydroxy-3-((3R,4S)-dihydroxy-pyrrolidin-1-yl)-3-oxypropyl)amide in at least one concentration-enhancing polymer.

DERWENT CLASS: A96 B02 B07

INVENTOR(S): BABCOCK, W C; CREW, M D; FRIESEN, D T; HANCOCK, B C; LORENZ, D A; MACRI, C; NIGHTINGALE, J A S; SHANKER, R M; MACRI, C A

PATENT ASSIGNEE(S): (BABC-I) BABCOCK W C; (CREW-I) CREW M D; (FRIE-I) FRIESEN D T; (HANC-I) HANCOCK B C; (LORE-I) LORENZ D A; (MACR-I) MACRI C; (NIGH-I) NIGHTINGALE J A S; (SHAN-I) SHANKER R M; (PFIZ) PFIZER PROD INC

COUNTRY COUNT: 95

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2001068092	A2	20010920	(200170)*	EN	116

RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZW

W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW

US 2001053791 A1 20011220 (200206)

AU 2001040978 A 20010924 (200208)

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2001068092	A2	WO 2001-IB389	20010316
US 2001053791	A1 Provisional	US 2000-190125P	20000316
		US 2001-808559	20010314
AU 2001040978	A	AU 2001-40978	20010316

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2001040978 A	Based on	WO 200168092

PRIORITY APPLN. INFO: US 2000-190125P 20000316; US 2001-808559 20010314

AN 2001-611381 [70] WPIDS

AB WO 200168092 A UPAB: 20011129

NOVELTY - A composition comprises:

(a) an amorphous solid dispersion of 5-chloro-1H-indole-2-carboxylic acid ((1S)-benzyl-(2R)-hydroxy-3-((3R,4S)-dihydroxy-pyrrolidin-1-yl)-3-oxypropyl)amide (I) in concentration-enhancing polymer (II); and

(b) optionally, an additional concentration-enhancing polymer.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for the following:

(1) a composition comprising an amorphous form of (I) and a concentration-enhancing polymer; and

(2) a method of making a composition containing (I) in an aqueous-soluble concentration-enhancing polymer, comprising solvent processing, mechanical processing and/or thermal processing.

ACTIVITY - Antidiabetic.

MECHANISM OF ACTION - Hepatic glucose production inhibitor; glycogen phosphorylase inhibitors.

Test details are described but no results are given.

USE - The compositions are useful for treating type 2 diabetes.

ADVANTAGE - The compositions enhance the aqueous concentration in a use environment and the bioavailability of (I).

Dwg.0/0

L30 ANSWER 3 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD

ACCESSION NUMBER: 2001-367472 [38] WPIDS

DOC. NO. CPI: C2001-112676

TITLE: **Microcrystalline cellulose granules**, useful for the production of pharmaceutical **tablets**, are prepared by **granulating** in **water** and a **water**-miscible, volatile, polar solvent and sequential drying.

DERWENT CLASS: A11 A31 A96 B07 C07

INVENTOR(S): ERKOBONI, D F; SWERIDUK, C A; VLADYKA, R S

PATENT ASSIGNEE(S): (FMCC) FMC CORP

COUNTRY COUNT: 93

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2001034684	A1	20010517	(200138)*	EN	25

RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZW

W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW

AU 2001014841 A 20010606 (200152)

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2001034684 A1		WO 2000-US31015	20001109
AU 2001014841 A		AU 2001-14841	20001109

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 2001014841 A		Based on	WO 200134684

PRIORITY APPLN. INFO: US 1999-165121P 19991112
AN 2001-367472 [38] WPIDS
AB WO 200134684 A UPAB: 20010711
NOVELTY - **Microcrystalline cellulose granules** are prepared by **granulating microcrystalline cellulose** with a **granulating** fluid comprising **water** and a **water**-miscible, volatile, polar organic solvent to provide a **granulated microcrystalline cellulose** which is dried to remove at least substantially all of the polar organic solvent without extruding or spheronizing the **cellulose** followed by removing the **water**.

DETAILED DESCRIPTION - **Microcrystalline cellulose granules** (I) are prepared by

(a) **granulating microcrystalline cellulose** with a **granulating** fluid comprising **water** and a **water**-miscible, volatile, polar organic solvent to provide a **granulated microcrystalline cellulose**;

(b) drying the **granulated microcrystalline cellulose** at a controlled rate for a time sufficient to remove at least substantially all of the polar organic solvent from the **granulated microcrystalline cellulose** and without extruding or spheronizing the **granulated microcrystalline cellulose** from **granulated** step (a); and

(c) removing at least a substantial portion of the **water** from the **granulated microcrystalline cellulose**.

An INDEPENDENT CLAIM is included for **tablets** comprising 5-80 wt.% **microcrystalline cellulose granules** (I), 5-80 wt.% of at least one controlled release particle and barrier coated materials containing an active ingredient and 0-20 wt.% other excipients.

USE - The **microcrystalline cellulose granules** (I) are useful for the production of pharmaceutical **tablets**.

ADVANTAGE - The **granules** (I) provide a cushioning effect to preserve the physical integrity of other components in the **tablet**, particularly controlled release particles.
Dwg.0/0

L30 ANSWER 4 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 2001-218302 [22] WPIDS

DOC. NO. CPI: C2001-065142
TITLE: Manufacture of a solid dosage form that rapidly dissolves in aqueous medium.
DERWENT CLASS: A96 B02 B05 B07 C02 C03 C07
INVENTOR(S): MARTANI, R
PATENT ASSIGNEE(S): (NOVS) NOVARTIS CONSUMER HEALTH SA
COUNTRY COUNT: 94
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2001012161	A1	20010222	(200122)*	EN	28
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TZ UG ZW					
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW					
AU 2000072757	A	20010313	(200134)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2001012161	A1	WO 2000-EP7934	20000814
AU 2000072757	A	AU 2000-72757	20000814

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 2000072757	A	Based on	WO 200112161

PRIORITY APPLN. INFO: EP 1999-810738 19990817
AN 2001-218302 [22] WPIDS
AB WO 200112161 A UPAB: 20010421
NOVELTY - Manufacture of a solid dosage form that rapidly dissolves in aqueous medium comprises dispensing a powder or **granulate** in moulds or containers, compacting, drying and removing from moulds.

DETAILED DESCRIPTION - Manufacture of a solid dosage form that rapidly dissolves in aqueous medium comprises:

(1) preparing a powder or **granulate** consisting of all other ingredients of the solid dosage form and optionally some or all of the active agent;

(2) dispensing an auxiliary solvent or a solution or dispersion of the active substance in an auxiliary solvent in moulds or in the cavities of a preformed storage container;

(3) placing an amount of compacted powder or **granulate** from (1) on top of the liquid in the moulds or cavities;

(4) removing the auxiliary solvent by applying a drying system; and

(5) removing the dried units from the moulds into a suitable storage container or sealing the cavities of the preformed container intended for storage of the solid dosage form.

An INDEPENDENT CLAIM is also included for a solid dosage form that rapidly dissolves in **water** comprising (i) an active

substance; (ii) a filler; and (iii) a disintegration agent, the dosage form disintegrates in the mouth within 30 seconds and has a density of 300-1000 mg/ml.

USE - As a solid dosage form that rapidly dissolves in the mouth especially for administration to people who are unwilling or unable to swallow **tablets** or to animals.

ADVANTAGE - Process avoids costly and time consuming freeze drying steps, gives a uniform content of active agent and **tablet** weight, allows easy upscaling of process and avoids moisture uptake during storage.

Dwg.0/0

L30 ANSWER 5 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD

ACCESSION NUMBER: 2000-442267 [38] WPIDS

DOC. NO. CPI: C2000-134435

TITLE: Stabilized antiviral 9-(2-((bis((pivaloyloxy)methyl)phosphono)methoxy)ethyl)adenine composition contains an alkaline excipient.

DERWENT CLASS: A96 B02

INVENTOR(S): DAHL, T C; YUAN, L J

PATENT ASSIGNEE(S): (GILE-N) GILEAD SCI INC

COUNTRY COUNT: 91

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2000035460	A2	20000622	(200038)*	EN	50

RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ TZ UG ZW

W: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE DK DM EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW

AU 2000023613	A	20000703	(200046)		
EP 1140114	A2	20011010	(200167)	EN	

R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI

BR 9916820	A	20011030	(200173)		
KR 2001080765	A	20010822	(200213)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2000035460	A2	WO 1999-US29626	19991214
AU 2000023613	A	AU 2000-23613	19991214
EP 1140114	A2	EP 1999-967310	19991214
		WO 1999-US29626	19991214
BR 9916820	A	BR 1999-16820	19991214
		WO 1999-US29626	19991214
KR 2001080765	A	KR 2001-707531	20010615

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 2000023613	A	Based on	WO 200035460
EP 1140114	A2	Based on	WO 200035460

Searcher : Shears 308-4994

BR 9916820 A Based on WO 200035460

PRIORITY APPLN. INFO: US 1998-211613 19981215; US 1998-112403P 19981215

AN 2000-442267 [38] WPIDS

AB WO 200035460 A UPAB: 20000811

NOVELTY - Alkaline excipients (II) are used in 9-(2-((bis((pivaloyloxy)methyl)phosphono)methoxy)ethyl)adenine (I) formulations.

DETAILED DESCRIPTION - Composition comprises 9-(2-((bis((pivaloyloxy)methyl)phosphono)methoxy)ethyl)adenine (I) and an alkaline excipient (II).

INDEPENDENT CLAIMS are included for the following:

(1) a product produced by contacting (I) with (II);

(2) a method comprising contacting (I) with (II).

ACTIVITY - Virucide; anti-HIV.

MECHANISM OF ACTION - (II) stabilizes (I) by adjusting the local pH or by reducing the rate of (I) degradation product formation.

USE - (I) has antiviral activity against e.g. HIV, HBV and CMV and may be for human or veterinary use.

ADVANTAGE - Addition of (II) to (I) formulations permit storage at room temperature with a reduced or eliminated requirement for packaging aids such as silica gel or activated carbon. The formulations allow the use of (I) preparations that contain 97% pure (I) while retaining sufficient stability to retain a shelf-life of at least 2 years at room temperature.

4 Compounds, CaCO3, MgCO3, ZnCO3 and CaHPO4 were incorporated as intragranular excipients in (I) formulations. The figure depicts the % degradation as a function of time at 60 deg. C and 30% RH for formulations containing 3% CaCO3, 2% MgCO3, 2% ZnCO3 and 2% CaHPO4 as compared to a control. As can be seen from the diagram, the most stable formulation contained 2% CaCO3, MgCO3 and ZnCO3. In contrast, CaHPO4 showed no significant improvement on the stability of (I) compared to the control formulation.

DESCRIPTION OF DRAWING(S) - The figure depicts the % degradation of (I) as a function of time at 60 deg. C and 30% RH for formulations containing 3% CaCO3, 2% MgCO3, 2% ZnCO3 and 2% CaHPO4 as compared to a control.

Dwg.1/2

L30 ANSWER 6 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD

ACCESSION NUMBER: 2000-604769 [58] WPIDS

DOC. NO. CPI: C2000-181424

TITLE: Oral pharmaceutical formulation containing omeprazole has coating of omeprazole and specified amount of globular form fine **granule** like nucleus parts with polyvinyl alcohol, intermediate and enteric coating layer.

DERWENT CLASS: A96 B02

INVENTOR(S): HSIEH, P; HSU, T; WANG, Y; SHIE, P; SHIU, T

PATENT ASSIGNEE(S): (NANG-N) NANG KUANG PHARM CO LTD; (NANG-N) NANGUANG CHEM & PHARM CO LTD

COUNTRY COUNT: 3

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG

JP 2000212085 A 20000802 (200058)* 7
AU 2000013541 A 20000907 (200058)
TW 404832 A 20000911 (200129)

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 2000212085	A	JP 2000-18494	20000127
AU 2000013541	A	AU 2000-13541	20000124
TW 404832	A	TW 1999-101259	19990127

PRIORITY APPLN. INFO: TW 1999-101259 19990127
AN 2000-604769 [58] WPIDS
AB JP2000212085 A UPAB: 20001114
NOVELTY - Oral formulation of omeprazole involves coating 26-50 weight/weight% (W/W %) of enteric layer on 32-60% W/W % of intermediate layer on fine nuclear globules of omeprazole. 6-12 W/W % of fine globular is obtained by coating 7.5-145 W/W % of omeprazole with 0.1-7 W/W % of poly vinyl alcohol.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following: (i) manufacture of oral formulation of omeprazole by suspending omeprazole in polyvinyl alcohol aqueous solution or in mixture of **water** and **ethanol**. The obtained compound is sprayed in the form of fine globules with addition of sucrose, lactose, **starch** or saccharides or micro **crystalline cellulose** to form a drug layer. The drug layer is coated with a binder and a sherardizing material continuously to form as a single layer or multiple intermediate coating layer. Subsequently, the enteric layer is coated and molded into pellets; (ii) a capsule formed by filling the obtained pellets; and (iii) a **tablet** obtained by compressing the pellets.

USE - For formulating enteric coated omeprazole useful for treating duodenal ulcer.

ADVANTAGE - The oral formulation containing omeprazole has long period storage stability.

Dwg.0/1

L30 ANSWER 7 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 2000-116326 [10] WPIDS
DOC. NO. CPI: C2000-035475
TITLE: Efavirenz compressed **tablet** formulation for use in the treatment of HIV infections and AIDS.
DERWENT CLASS: A96 B02 B07
INVENTOR(S): BATRA, U; HIGGINS, R J; KATDARE, A V; THOMPSON, K C
PATENT ASSIGNEE(S): (MERI) MERCK & CO INC; (BATR-I) BATRA U; (HIGG-I) HIGGINS R J; (KATD-I) KATDARE A V
COUNTRY COUNT: 86
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 9961026	A1	19991202	(200010)*	EN	31

RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ UG ZW
W: AE AL AM AU AZ BA BB BG BR BY CA CN CU CZ EE GD GE HR HU ID

IL IN IS JP KG KR KZ LC LK LR LT LV MD MG MK MN MX NO NZ PL
RO RU SG SI SK SL TJ TM TR TT UA US UZ VN YU ZA
AU 9942010 A 19991213 (200020)
EP 1083901 A1 20010321 (200117) EN
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MK NL
PT RO SE SI
US 2001014352 A1 20010816 (200149)

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 9961026	A1	WO 1999-US11464	19990524
AU 9942010	A	AU 1999-42010	19990524
EP 1083901	A1	EP 1999-925793	19990524
		WO 1999-US11464	19990524
US 2001014352	A1 Provisional	US 1998-86921P	19980527
		US 1999-312617	19990517

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9942010	A	Based on	WO 9961026
EP 1083901	A1	Based on	WO 9961026

PRIORITY APPLN. INFO: GB 1998-15800 19980721; US 1998-86921P 19980527; US 1999-312617 19990517

AN 2000-116326 [10] WPIDS

AB WO 9961026 A UPAB: 20000228

NOVELTY - A compressed **tablet** comprises efavirenz, filler/disintegrant, superdisintegrant, binder, surfactant, diluent/compression aid, lubricant and solvent. Efavirenz is 50 wt.% of compressed **tablet**'s total composition.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is also included for a process for the preparation of a 50% drug loaded compressed **tablet** comprising:

(a) blending efavirenz with a filler/disintegrant, superdisintegrant, binder and surfactant;

(b) adding 1.1 wt.% **water** per weight of efavirenz to wet **granulate** the blended mixture to agglomerate the mixture;

(c) drying the **granulated** mixture to a moisture content of 0 - 10%;

(d) milling the dried mixture to **granulate** to a uniform size;

(e) blending the milled mixture with a filler/compression aid;

(f) lubricating the blended mixture with a lubricant; and

(g) compressing the lubricated mixture to a compressed **tablet** of desired shape.

ACTIVITY - Anti-HIV.

MECHANISM OF ACTION - Inhibitor.

USE - Efavirenz compressed **tablet** formulation is used for the treatment of HIV infections and AIDS.

ADVANTAGE - The formulation is bioequivalent to a capsule with a smaller dose (200 mg) and more bioavailable than other **tablet** compositions. It has the advantages of robust processing and sorting steps, smaller size with larger dose and

market preference. The **tablet** composition overcomes loss of **crystallinity** of efavirenz by adding the lactose extra-**granularly** while maintaining dissolution profile.
Dwg.0/0

L30 ANSWER 8 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 2000-053189 [04] WPIDS
DOC. NO. CPI: C2000-013843
TITLE: Pharmaceutical composition containing levothyroxine sodium used for thyroid hormone therapy.
DERWENT CLASS: B05
INVENTOR(S): NISCHWITZ, M; SCHREDER, S; NISHWITZ, M
PATENT ASSIGNEE(S): (MERE) MERCK PATENT GMBH
COUNTRY COUNT: 87
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 9959551	A1	19991125	(200004)*	GE	17
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ UG ZW					
W: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZA ZW					
DE 19821625	C1	20000105	(200006)		
AU 9939321	A	19991206	(200019)		
BR 9910445	A	20010102	(200104)		
NO 2000005758	A	20001114	(200109)		
EP 1077681	A1	20010228	(200113)	GE	
R: AT BE CH DE DK ES FI FR GB GR IE IT LI LT LU LV NL PT RO SE SI					
CZ 2000004201	A3	20010314	(200117)		
SK 2000001689	A3	20010409	(200131)		
CN 1301148	A	20010627	(200158)		
AU 742382	B	20020103	(200209)		
HU 2001002125	A2	20011128	(200209)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 9959551	A1	WO 1999-EP3087	19990505
DE 19821625	C1	DE 1998-19821625	19980515
AU 9939321	A	AU 1999-39321	19990505
BR 9910445	A	BR 1999-10445	19990505
		WO 1999-EP3087	19990505
NO 2000005758	A	WO 1999-EP3087	19990505
		NO 2000-5758	20001114
EP 1077681	A1	EP 1999-922182	19990505
		WO 1999-EP3087	19990505
CZ 2000004201	A3	WO 1999-EP3087	19990505
		CZ 2000-4201	19990505
SK 2000001689	A3	WO 1999-EP3087	19990505
		SK 2000-1689	19990505
CN 1301148	A	CN 1999-806175	19990505
AU 742382	B	AU 1999-39321	19990505
HU 2001002125	A2	WO 1999-EP3087	19990505

HU 2001-2125 19990505

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9939321	A	Based on	WO 9959551
BR 9910445	A	Based on	WO 9959551
EP 1077681	A1	Based on	WO 9959551
CZ 2000004201	A3	Based on	WO 9959551
SK 2000001689	A3	Based on	WO 9959551
AU 742382	B	Previous Publ.	AU 9939321
		Based on	WO 9959551
HU 2001002125	A2	Based on	WO 9959551

PRIORITY APPLN. INFO: DE 1998-19821625 19980515
AN 2000-053189 [04] WPIDS
AB WO 9959551 A UPAB: 20000124
NOVELTY - Pharmaceutical composition free from solvent residues contains levothyroxine sodium (I) and optionally liothyronine sodium (II), **gelatin** and fillers.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is also included for the production of **tablets** containing (I) and optionally (II).

USE - The composition is useful for thyroid hormone therapy.

ADVANTAGE - The composition has improved stability and active substance release in vitro compared with prior art compositions known from e.g. WO 9717951 , DE 19541128 , US 5635209 and WO 9719703 .

A 100 mu g sample with **gelatin** replaced by Methocel has an initial content of (I) of 100.48% compared with the expected 105%. **Tablets** are stable for at least 2 years when stored at below 30 deg. C and in vitro release of (I) when 95% of particles have a size of 5-25 mu m is above 90% in phosphate buffer and above 80% in **water**. When an organic solvent, e.g. **methanol**, is used in place of **water** to prepare **tablets**, the **tablets** lose 10% of (I) when stored for 1 year at 25 deg. C under 60% relative humidity.
Dwg.0/0

L30 ANSWER 9 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1999-601294 [51] WPIDS
DOC. NO. CPI: C1999-174993
TITLE: Oral stable fixed dose composition useful for preventing and minimizing adverse effects of antibiotics e.g. diarrhea.
DERWENT CLASS: B02
INVENTOR(S): BANSAL, Y K; KHAMAR, B M; MODI, R I
PATENT ASSIGNEE(S): (BANS-I) BANSAL Y K; (CADI-N) CADILA PHARM E A LTD; (KHAM-I) KHAMAR B M; (MODI-I) MODI R I
COUNTRY COUNT: 49
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 9949875	A1	19991007	(199951)*	EN	30

RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL PT SD SE SZ UG ZW

W: AU BG BR CA CH CN CU CZ EE GE GH ID JP KE LT LV MD MW RO SD TT UA US UZ VN
AU 9864156 A 19991018 (200010)
EP 998295 A1 20000510 (200027) EN
R: AT BE CH CY DE DK ES FI FR GR IE IT LI LT LU LV MC NL PT RO SE

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 9949875	A1	WO 1998-IB445	19980403
AU 9864156	A	AU 1998-64156	19980403
EP 998295	A1	EP 1998-909680	19980403
		WO 1998-IB445	19980403

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9864156	A	Based on	WO 9949875
EP 998295	A1	Based on	WO 9949875

PRIORITY APPLN. INFO: LK 1998-16445 19980327; GB 1998-6489

AN 1999-601294 [51] WPIDS
AB WO 9949875 A UPAB: 19991207
NOVELTY - Oral stable fixed dose composition comprises at least one anti-infective agent and micro-organisms. The components are taken together as a capsule, **tablet** or liquid preparation to produce complementary effects and the composition remains stable for 3-36 months.

ACTIVITY - Antidiarrheic.

MECHANISM OF ACTION - The anti-infective agents inhibit the growth of the pathogens that are then replaced by the pathogens provided by the composition.

USE - The combination composition of antibiotics and micro-organisms is useful for preventing and minimizing adverse effects of the antibiotics e.g. diarrhea, pseudomembranous colitis and mega colon.

ADVANTAGE - The composition combines the micro-organisms with anti-infective agents and has a long self life. The combination of the two components minimizes the side effects of the anti-infective agents resulting from destruction/alteration of normal flora. The composition provides organisms at the desired site.
Dwg.0/0

L30 ANSWER 10 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 2000-326763 [28] WPIDS
DOC. NO. NON-CPI: N2000-245856
DOC. NO. CPI: C2000-098849
TITLE: Preparation of antiulcer **tablets**.
DERWENT CLASS: A96 B03 P33
INVENTOR(S): ANDREEVA, A A; DEIKINA, L N; DMITRENKO, I O
PATENT ASSIGNEE(S): (MOKH-R) MOSC CHEM-PHARM PRODM ASSOC
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
RU 2131264	C1	19990610	(200028)*		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
RU 2131264	C1	RU 1996-111056	19960604

PRIORITY APPLN. INFO: RU 1996-111056 19960604
AN 2000-326763 [28] WPIDS
AB RU 2131264 C UPAB: 20000613
NOVELTY - Preparation of antiulcer **tablets.**
DETAILED DESCRIPTION - Composition for preparing an antiulcer agent as **tablets** has the following components, wt.-%: ranitidine, 53.3-59.4; **polyvinylpyrrolidone**, 1.4-2.0; magnesium stearate, 0.5-1.2; and **microcrystalline cellulose**, the balance. **Tablets** of the new agent are prepared by mixing powder-like ranitidine and **microcrystalline cellulose**. Mixture is wetted with **polyvinylpyrrolidone** an aqueous-alcohol solution followed by wetting **granulation** at **polyvinylpyrrolidone** an aqueous-alcohol solution spraying over fluidized mass. **Granulate** is dried, powdered with magnesium stearate and tabletted. Components mixture is wetted at 25-32 deg. C in the boiling layer and **granulate** is powdered at 30-36 deg. C. For components mixture wetting 5-6-% solution of **polyvinylpyrrolidone** in the mixture of **water** and 96% **ethyl alcohol** at ratio 1:(3.4-5.0) is used. **Tablets** of an antiulcer agent provide high bioavailability of ranitidine. New method of **tablets** preparing is carried out in a single unit that ensures to exclude the stag e of dry **granulation.**
USE - Medicinal industry, pharmacy.
ADVANTAGE - Simplified technology, enhanced availability of drug.
Dwg.0/0

L30 ANSWER 11 OF 34 JAPIO COPYRIGHT 2002 JPO
ACCESSION NUMBER: 1999-116467 JAPIO
TITLE: SUGAR-COATED **TABLET**
INVENTOR: TATESHIMO KENGO; NAKAGAWA YASUO; YAMAZAKI TAKASHI
PATENT ASSIGNEE(S): TAISHO PHARMACEUT CO LTD, JP (CO 000281)
PATENT INFORMATION:

PATENT NO	KIND	DATE	ERA	MAIN IPC
JP 11116467	A	19990427	Heisei	(6) A61K009-28

JP
APPLICATION INFORMATION
ST19N FORMAT: JP1997-276050 19971008
ORIGINAL: JP09276050 Heisei
SOURCE: PATENT ABSTRACTS OF JAPAN (CD-ROM), Unexamined Applications, Vol. 99, No. 4

Searcher : Shears 308-4994

AN 1999-116467 JAPIO
AB PURPOSE: TO BE SOLVED:To obtain a sugar-coated **tablet** capable of decreasing the amount of a coated sugar, reducing the size and expressing an excellent **tablet** strength by applying a membrane comprising a polymer capable of being dissolved in both **water** and a lower alcohol to a sugar-coated **tablet**.
CONSTITUTION: sugar-coated **tablet** comprises a sugar-coated **tablet** whose surface is covered with a membrane comprising a polymer (preferably **hydroxypropylcellulose** or **polyvinyl pyrrolidone**) capable of being dissolved in both **water** and a lower alcohol (especially preferably **ethanol**). The weight ratio of the membrane comprising the polymer is preferably 0.1-2 wt.% based on the total amount of the sugar-coated **tablet** covered with the membrane. A bare **tablet** to be coated with a sugar is preferably prepared e.g. by mixing lactose, **crystalline cellulose** or the like as an excipient, if necessary, with a medicine and the like, and subsequently **granulating** the mixture. The sugar-coated **tablet** thereby permits to remarkably reduce the amount of the sugar coating, especially an intermediate layer, (by .ltoreq.40% based on the total amount of the bare **tablet**), or omit the sugar coating, to reduce the size of the **tablet** and to expect an excellent administration touch.

L30 ANSWER 12 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1997-271725 [24] WPIDS
CROSS REFERENCE: 1997-246180 [22]
DOC. NO. CPI: C1997-087323
TITLE: Pharmaceutical composition, in **tablet** form, for stimulating growth hormone release - comprises N-[1(R)-[(1,2-di hydro-1-methane-sulphonyl-spiro[3H-indole-3,4'-piperidin]-1'-yl)carbonyl]-2-(phenyl-methoxy)ethyl]-2-amino-2-methyl-propan-amide as active agent.
DERWENT CLASS: A96 B02 C02
INVENTOR(S): ASGHARNEJAD, M; DRAPER, J P; DUBOST, D C; KAUFMAN, M J; STOREY, D E; DRAPER, J; DUBOST, D; KAUFMAN, M; STOREY, D
PATENT ASSIGNEE(S): (MERI) MERCK & CO INC
COUNTRY COUNT: 74
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 9715191	A1	19970501	(199724)*	EN	92
RW: AT BE CH DE DK EA ES FI FR GB GR IE IT KE LS LU MC MW NL OA PT SD SE SZ UG					
W: AL AM AU AZ BA BB BG BR BY CA CN CU CZ EE GE HU IL IS JP KG KR KZ LC LK LR LT LV MD MG MK MN MX NO NZ PL RO RU SG SI SK TJ TM TR TT UA US UZ VN					
AU 9675228	A	19970515	(199736)		
EP 857020	A1	19980812	(199836)	EN	
R: AT BE CH DE DK ES FI FR GB GR IE IT LI LU NL PT SE					
JP 11513989	W	19991130	(200007)		86
US 6123964	A	20000926	(200051)		

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE
WO 9715191	A1		WO 1996-US17196	19961023
AU 9675228	A		AU 1996-75228	19961023
EP 857020	A1		EP 1996-937761	19961023
			WO 1996-US17196	19961023
JP 11513989	W		WO 1996-US17196	19961023
			JP 1997-516841	19961023
US 6123964	A	Provisional	US 1995-5897P	19951027
		Provisional	US 1995-5901P	19951027
			WO 1996-US17196	19961023
			US 1998-66469	19981027

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9675228	A	Based on	WO 9715191
EP 857020	A1	Based on	WO 9715191
JP 11513989	W	Based on	WO 9715191
US 6123964	A	Based on	WO 9715191

PRIORITY APPLN. INFO: GB 1996-3834 19960223; US 1995-5897P 19951027; US 1995-5901P 19951027; GB 1996-3238 19960216; US 1998-66469 19981027

AN 1997-271725 [24] WPIDS

CR 1997-246180 [22]

AB WO 9715191 A UPAB: 19970612

Pharmaceutical composition comprises:

(a) 0.1-50 weight% of N-[1(R)-[(1,2-dihydro-1methanesulphonylspiro[3H-indole-3,4'-piperidin]-1'-yl)carbonyl]-2(phenylmethoxy)ethyl]-2-amino-2-methyl-propanamide (I), or its salt, as active ingredient,

(b) 0-77 weight% of a binder/diluent selected from hydroxypropyl **methylcellulose**, **hydroxypropyl cellulose**, pregelatinised **starch** and **polyvinylpyrrolidone**,

(c) 0-77 weight% of a first diluent selected from lactose, **microcrystalline cellulose**, calcium phosphate dibasic, mannitol, powdered **cellulose** and pregelatinised **starch**,

(d) 0-77 weight% of a second diluent selected from lactose, mannitol, **microcrystalline cellulose**, calcium phosphate dibasic, mannitol, powdered **cellulose** and pregelatinised **starch**,

(e) 0-6 weight% of a disintegrant selected from **microcrystalline** or croscarmellose sodium,

(f) 0-5 weight% of a lubricant selected from magnesium stearate, calcium stearate and stearic acid.

The sum of components (a)-(f) is at most 100 weight%.

Also claimed are:

(1) the preparation of a **tablet** containing (I) or its salt, comprising:

(i) forming a powder blend of (I) with a binder/diluent, first and second diluents and a first portion of a disintegrant,

(ii) wet **granulating** the powder blend with a solution

of **ethanol/water** to form **granules**,
(iii) drying the **granules** to remove the **ethanol/water**,
(iv) adding a second portion of disintegrant,
(v) lubricating the **granules** and
(vi) compressing the dried **granules** into **tablet** form, and
(2) an amorphous form of (I) methanesulphonate (Ia).
USE - (I) (which is disclosed in US5536716) is a growth hormone secretagogue which stimulates the release of growth hormone in humans and animals. It may be used to render production of edible meat products and milk more efficient. In humans it may be used to treat physiological/medical conditions characterised by a deficiency in growth hormone secretion and to treat medical conditions which are improved by the anabolic effects of growth hormone. (I) may be used in treatment of, e.g. growth retardation (and associated conditions such as obesity), aging, catabolic side effects of glucocorticoids, osteoporosis, wounds, bone fractures, acute/chronic renal failure or renal insufficiency, Noonan's syndrome, schizophrenia, depression, Alzheimer's disease, pulmonary dysfunction, malabsorption syndromes, gastric ulcers, hyperinsulinaemia, age-related decline of thymic function, immune deficiency, cachexia and protein loss due to chronic illness such as AIDS or cancer, fertility problems and stress-related disorders.
Dwg.0/0

L30 ANSWER 13 OF 34 JAPIO COPYRIGHT 2002 JPO
ACCESSION NUMBER: 1997-301991 JAPIO
TITLE: CANCER METASTASIS INHIBITOR
INVENTOR: KUMAGAI HIROYUKI; WAKAZONO KUNIKO; AGATA NAOKI; SAKAI KAZUYA; IGUCHI HIROSHI; OKAJIMA YASUO; YOSHIOKA TAKEO
PATENT ASSIGNEE(S): MERCIAN CORP, JP (CO 000191)
PATENT INFORMATION:

PATENT NO	KIND	DATE	ERA	MAIN IPC
JP 09301991	A	19971125	Heisei	(6) C07H017-04

JP
APPLICATION INFORMATION
ST19N FORMAT: JP1996-142320 19960514
ORIGINAL: JP08142320 Heisei
SOURCE: PATENT ABSTRACTS OF JAPAN (CD-ROM), Unexamined Applications, Vol. 97, No. 11
AN 1997-301991 JAPIO
AB PURPOSE: TO BE SOLVED:To obtain a cancer metastasis inhibitor low in toxicity, effectively and safely usable, comprising bafilomycin having inhibitiory action on cancer metastasis prepared by culturing a bacterium belonging to the genus Streptomycin as an active ingredient.
CONSTITUTION: filomycin derivative of formula I (R is a residue of formula II, etc.) separated from a culture solution obtained by culturing a bacterium belonging to the genus Streptomyces is used as an active ingredient, is mixed with an excipient such as lactose, kaolin or **crystal cellulose**, a binder such as **water**, **ethanol** or **methyl cellulose**, a disintegrant such as dried **starch**,

sodium alginate or monoglyceride stearate, a disintegration inhibitor such as saccharose or cacao butter, an absorption promoter such as sodium lauryl sulfate, a humectant such as glycerol, a lubricant such as stearate and pharmaceutically manufactured into a dosage form such as **tablet**, powder, solution, emulsion, **granule**, capsule, suppository, injection, etc., to give the objective cancer metastasis inhibitor.

L30 ANSWER 14 OF 34 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.
ACCESSION NUMBER: 97287584 EMBASE
DOCUMENT NUMBER: 1997287584
TITLE: Processing and storage effects on **water** vapor sorption by some model pharmaceutical solid dosage formulations.
AUTHOR: Dalton C.R.; Hancock B.C.
CORPORATE SOURCE: B.C. Hancock, Pharmaceutical Research Department, Merck Frosst Canada Inc., PO Box 1005, Pointe-Claire, Que. H9R 4P8, Canada
SOURCE: International Journal of Pharmaceutics, (1997) 156/2 (143-151).
Refs: 8
ISSN: 0378-5173 CODEN: IJPHDE
PUBLISHER IDENT.: S 0378-5173(97)04983-1
COUNTRY: Netherlands
DOCUMENT TYPE: Journal; Article
FILE SEGMENT: 037 Drug Literature Index
039 Pharmacy
LANGUAGE: English
SUMMARY LANGUAGE: English

AB Several excipients and their formulations were equilibrated at relative humidities and temperatures selected to simulate typical pharmaceutical storage and processing conditions. Three different **water** detection techniques - loss on drying, Karl Fischer coulometry and an automatic moisture balance, were used to determine the moisture content of these systems. The excipients all possessed very different **water** sorption tendencies, as did their formulations. Isothermal **water** sorption by the dry blends, **granules** and **tablets** of each formulation was identical, suggesting that the processes involved in **tablet** manufacturing did not affect the **water** sorption behavior. Accurate **water** content predictions for the formulations were possible by adding the contribution of **water** from each excipient. Such predictions may be helpful for defining upper and lower **water** content specifications and storage conditions for excipients and their formulations.

L30 ANSWER 15 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1994-354639 [44] WPIDS
DOC. NO. CPI: C1994-161656
TITLE: Solid prepn having improved stability - comprises 1,4-di hydro pyridine cpd and **water** soluble organic acid coated with excipient.
DERWENT CLASS: B03
PATENT ASSIGNEE(S): (TAIS) TAISHO PHARM CO LTD
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG

JP 06279286	A	19941004 (199444)*	3

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 06279286	A	JP 1993-67191	19930326

PRIORITY APPLN. INFO: JP 1993-67191 19930326
AN 1994-354639 [44] WPIDS
AB JP 06279286 A UPAB: 19941223
Prepn. comprises 2,6-dimethyl-4-(3-nitrophenyl) -1,4-dihydropyridine-3,5-dicarboxylic acid 3-(3-nitrooxypropyl) ester 5-(2-nicotinoylaminoethyl) ester (1) and **water** soluble organic acid surface coated with excipient.

Pref. **water** soluble organic acid is e.g. tartaric acid, succinic acid, citric acid, fumaric acid, maleic acid or ascorbic acid. The excipient is e.g. sugar, lactose, **starch** or **crystalline cellulose**. The amt. of cpd. (1) is 10-40 wt.% and the amt. of **water** soluble organic acid is 10-80 wt.%. The amt. of excipient to **water** soluble organic acid (1 pt.) is 0.5-2 pts. The formulation is **granules**, capsules, powder or **tablets**.

USE/ADVANTAGE - Stability of the solid prepn. is improved, maintaining its solubility and absorbability.

In an example, sample capsule (A) contg. cpd. (1) and control capsule (B) were sealed in vials and kept at 50 deg.C for 2 weeks. Then, the contents were extracted with **methanol**, and centrifuged. The supernatants were measured by HPLC to give a recovery ratio of cpd. (1) (98.9%) and the control (66.4%).
Dwg.0/2

L30 ANSWER 16 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1993-088584 [11] WPIDS
DOC. NO. CPI: C1993-039287
TITLE: **Tablet** contg. coated **granule** - has **crystalline**, break-resistant, **cellulose** coating.
DERWENT CLASS: A96 B07
PATENT ASSIGNEE(S): (ASAH) ASAHI CHEM IND CO LTD
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
JP 05032542	A	19930209	(199311)*		6

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 05032542	A	JP 1991-186304	19910725

PRIORITY APPLN. INFO: JP 1991-186304 19910725
AN 1993-088584 [11] WPIDS

AB JP 05032542 A UPAB: 19931122
Tablet is composed of (1) coated **granule** and (2) **crystalline cellulose** with 0.3cm3/g or more of porous grains with a dia. of 0.01 microns or more and specific surface area of 20 m2/g or more. Material for the coat of **granule** is **cellulose** (e.g, ethylcellulose, hydroxypropyl **methylcellulose** phthalate or carboxymethylethyl **cellulose**) or acryl polymer (eg., Eudragid RS (RTM).
USE/ADVANTAGE - The **cellulose** gives good formation of **tablet** with no breaking of coat.
In an example, pure **water** (700g) was added to a mixt.of theophylline (300g), **crystalline cellulose** (350g) and lactose (350g) and formed into crude **granules** (900g). Ethyl **cellulose**, hydroxy propyl **methylcellulose** and triacetin (8:1:1) (10 wt. %) contained in **ethanol** and methylene chloride (1:1) was coated over the crude **granules** to form coated **granules**. Coated material was 15 wt. % of the crude **granule**. The coated **granule** (70%), **crystalline cellulose** A: (28.5%), Ac-Di-Sol (RTM) (1.0%) and magnesium stearate (0.5%) were formed into a table of 12mm dia. and 600 Dwg.0/0

L30 ANSWER 17 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1992-395349 [48] WPIDS
DOC. NO. CPI: C1992-175559
TITLE: Antitumoural agents - contain ppte. obtd. from nuclear dermis of carya plant e.g. carya pecan by extn. with polar solvent followed by heating in acidic conditions.
DERWENT CLASS: B04
PATENT ASSIGNEE(S): (TAKS) TAKASAGO PERFUMERY CO LTD
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
JP 04295430	A	19921020	(199248)*		6

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 04295430	A	JP 1991-82874	19910325

PRIORITY APPLN. INFO: JP 1991-82874 19910325
AN 1992-395349 [48] WPIDS
AB JP 04295430 A UPAB: 19931116
Anti-tumour agents contg. as active component a ppte. which is prepd. from the nuclear dermis of a plant Carya (Juglandaceae), e.g. Carya illinoensis, C. pecan, by extraction with a polar solvent and heating the extract in an acidic condition, are new.
The crushed nuclear derimis of Carya is pref. extd. with a polar solvent e.g. **water**, MeOH, EtOH, n-PrOH, i-PrOH, **acetone**, at room temp. for 24-120 hrs. The extract is evaporated in vacuo or lyophilised; the residue or lyophilizate is

heated in an aq. soln. at pH 2 or lower, pref. pH 1, at a temp. of 80-130 deg. C, pref. 90-120 deg. C, for a period of 0.5-5 hrs., pref. 1-3 hrs. After cooling, the product is centrifuged and the ppte. is washed and lyophilised to give the active component. This is sparingly soluble in **water** but soluble in hydrophilic organic solvents, e.g. EtOH. The active component is pref. emulsified in distilled **water** for injection together with an emulsifying agent, e.g. polyoxyethylene hardened castor oil or lecithin, or dispersed in **water** with a dispersing agent, e.g. sorbitol syrup, **methylcellulose**. The oral prepns. may be prepd. with excipients, e.g. **starch**, lactose, mannitol; binder, e.g. CMC, **hydroxypropylcellulose**; disintegrator, e.g. **crystalline cellulose**, CMC-Ca; lubricant, e.g. talc, Mg stearate, and other necessary component, e.g. wetting agent. The suppositories may be prepd. with a basic medium, e.g. cacao butter, lauryl fat, polyethylene glycol.

USE/ADVANTAGE - The component has potent anti-tumoural action (against Sarcoma 180 ascites tumour cell, tumour cell J-774-1 derived from murine macrophage, tumour cell L-929 derived from murine fribroblast, HL-60 derived from human promyelocytic leukemia cell) to prolong lifespan with low acute toxicity. No antimicrobial action is observed. The agents may be administered orally or parenterally (s.c., i.m., i.v., rectally) as injection, powder, **tablets**, capsules, **granules**, liq. prepn., infusion, or suppositories at a single or divided doses of 40-1,000 mg (p.o.) or 15-350 mg (parenteral) a day for an adult (50 kg body wt.)

Dwg.0/1

L30 ANSWER 18 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1992-212028 [26] WPIDS
DOC. NO. CPI: C1992-095762
TITLE: New aldose reductase inhibitors containing xanthone derivatives - useful for treating diabetic disease complications, e.g. cataracts, retinitis, nerve disorders or renal disorders.
DERWENT CLASS: B02
PATENT ASSIGNEE(S): (TSUR) TSUMURA & CO
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
JP 04139179	A	19920513	(199226)*		12

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 04139179	A	JP 1990-260435	19901001

PRIORITY APPLN. INFO: JP 1990-260435 19901001
AN 1992-212028 [26] WPIDS
AB JP 04139179 A UPAB: 19931006
Aldose reductase inhibitor compsns. comprising a xanthone (I) as an active ingredient are new. In (I) R1 and R6 = OH, methoxy or acetoxy, R2 and R4 = H or methoxy, R3 and R5 = H, OH, methoxy or

acetoxy, provided that (I) when (1) R1=R3=R5=OH, R2+R6=methoxy and R4=H, (2) R1=R2=R3=methoxy, R4=R5=H and R6=OH or (3) R1=R3=R5=acetoxy, R4=H and R2 and R6=methoxy are excluded.

(I) can be obtd. by extn. of root of Polygala tenuifolia Willd or Polygala senega Linnaeus with an organic solvent (e.g. **methanol**, **ethanol**, chloroform, ether) or **water**. Examples of (I) are e.g. 1-hydroxy-3,6,7-trimethoxyxanthone, 1-acetoxy-3,6,7-trimethoxyxanthone.

USE - The compsn. is useful for treatment of complications caused by diabetic disease, e.g. cataract, retinitis, nerve disorder or renal disorder. The compsn. can take the form of **tablets**, capsules, **granules**, powders, injections, suppositories or ointments. The daily dose of (I) is 5-500 mg (p.o.) or 0.5-100 mg (inj.) for adults.

In an example corn **starch** (44g), **crystalline cellulose** (40g), carboxymethyl **cellulose** calcium (5g), light silicic anhydride (0.5g), magnesium stearate (0.5g) and 1-hydroxy-3,6,7- triethoxyxanthone (10g) were mixed homogeneously and formulated into **tablets** (200 mg/**tablet**). 5-25 **Tablets** were administered several times for adults per day.

0/0

L30 ANSWER 19 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1992-033808 [05] WPIDS
DOC. NO. CPI: C1992-014713
TITLE: Neutral tasting **tablets** and **granules** contg. Mesna - contain binder, disintegrating agent, lubricant filler and opt. effervescent mixt..
DERWENT CLASS: B05
INVENTOR(S): ENGEL, J; MILSMANN, E; SAUERBIER, D
PATENT ASSIGNEE(S): (ASTA) ASTA MEDICA AG; (ASTA) ASTA PHARMA AG; (SAUE-I) SAUERBIER D; (ASTA) ASTA MEDICINA AG; (DEGS) DEGUSSA AG
COUNTRY COUNT: 31
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
DE 4122167	A	19920123	(199205)*		
EP 468245	A	19920129	(199205)		
R: AT BE CH DE ES FR GB GR IT LI LU NL SE					
NO 9102771	A	19920117	(199212)		
AU 9180445	A	19920116	(199213)		
CA 2047027	A	19920117	(199215)		
FI 9103409	A	19920117	(199217)		
ZA 9105515	A	19920429	(199223)		19
HU 59317	T	19920528	(199227)		
PT 98325	A	19920529	(199227)		
CN 1058337	A	19920205	(199241)		
JP 04230319	A	19920819	(199241)		6
HU 206630	B	19921230	(199306)		
NZ 238941	A	19930326	(199316)		
AU 638586	B	19930701	(199333)		
US 5252341	A	19931012	(199342)		5
US 5262169	A	19931116	(199347)		6
EP 468245	B1	19940420	(199416)	GE	10

R: AT BE CH DE DK ES FR GB GR IT LI LU NL SE

DE 59101431	G	19940526 (199422)	
US 5358718	A	19941025 (199442)	6
IL 98836	A	19941128 (199504)	
ES 2063412	T3	19950101 (199508)	
SG 9401423	A	19950113 (199513)	
NO 178362	B	19951204 (199602)	
IE 65373	B	19951018 (199603)	
CA 2047027	C	19960917 (199649)	
FI 97949	B	19961213 (199704)	
RU 2070040	C1	19961210 (199730)	8
RO 113713	B1	19981030 (199904)	
JP 3068894	B2	20000724 (200040)	6
KR 189666	B1	19990601 (200056)	

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE
DE 4122167	A		DE 1991-4122167	19910704
EP 468245	A		EP 1991-111125	19910704
ZA 9105515	A		ZA 1991-5515	19910715
HU 59317	T		HU 1991-2374	19910715
PT 98325	A		PT 1991-98325	19910715
CN 1058337	A		CN 1991-104805	19910715
JP 04230319	A		JP 1991-172291	19910712
HU 206630	B		HU 1991-2374	19910715
NZ 238941	A		NZ 1991-238941	19910712
AU 638586	B		AU 1991-80445	19910715
US 5252341	A	Div ex	US 1991-730178	19910716
			US 1992-930783	19920817
US 5262169	A		US 1991-730178	19910716
EP 468245	B1		EP 1991-111125	19910704
DE 59101431	G		DE 1991-501431	19910704
			EP 1991-111125	19910704
US 5358718	A	Div ex	US 1991-730178	19910716
			US 1993-96422	19930726
IL 98836	A		IL 1991-98836	19910715
ES 2063412	T3		EP 1991-111125	19910704
SG 9401423	A		SG 1994-1423	19941003
NO 178362	B		NO 1991-2771	19910715
IE 65373	B		IE 1991-2463	19910715
CA 2047027	C		CA 1991-2047027	19910715
FI 97949	B		FI 1991-3409	19910715
RU 2070040	C1		SU 1991-5001036	19910711
RO 113713	B1		RO 1991-148005	19910715
JP 3068894	B2		JP 1991-172291	19910712
KR 189666	B1		KR 1991-12014	19910715

FILING DETAILS:

PATENT NO	KIND		PATENT NO
HU 206630	B	Previous Publ.	HU 59317
AU 638586	B	Previous Publ.	AU 9180445
DE 59101431	G	Based on	EP 468245
US 5358718	A	Div ex	US 5262169
ES 2063412	T3	Based on	EP 468245

Searcher : Shears 308-4994

SG 9401423	A	Previous Publ.	EP 468245
NO 178362	B	Previous Publ.	NO 9102771
FI 97949	B	Previous Publ.	FI 9103409
JP 3068894	B2	Previous Publ.	JP 04230319

PRIORITY APPLN. INFO: DE 1991-4122167 19910704; DE 1990-4022525 19900716

AN 1992-033808 [05] WPIDS

AB DE 4122167 A UPAB: 19970626

Tablets contg. mesna as the active ingredient and opt. conventinal flavourings, sweeteners and perfumes also contain per pt. wt. mesna, 0.01-1 pts. wt. of a binder, 0.03-0.4 pts. wt. of a disintegrating agent, 0.01-0.2 pts .wt. of a lubricant, 0.1-1 pts. of a lubricant 0.1-1 pts. wt. of a filler and, in the case of effervescent **tablets**, an additional 0.05-30 pts. wt. of a conventional physiologically acceptable effervescent mixt.

USE/ADVANTAGE - Mesna is used to protect the urinary tract in the therapy of tumour diseases using ifosfamide. Mesna is also used as a mucolytic. Mesna is a white hygroscopic powder with a characteristic taste and is very sensitive to oxidn. and, on contact with oxygen, esp. in damp atmos. rapidly turns into dimesna. The invention overcomes previous problems in formulating mesna or a mixt. of i-PrOH and **water** and the **granulate** can then be worked up to give **tablets** or coated **tablets** which have good chemical stability, are easy to take and, when immediately swallowed are practically neutral in taste. @(7pp Dwg.No.0/0

ABEQ US 5252341 A UPAB: 19931202

Granulate of mesna (Na 2-mercaptoethanesulphonate) contains it with 0.1-1 pts.wt. of binding agent/1pt.wt. mesna, 0.01-2 pts.wt. lubricant and 0.1-1 pts.wt. filler, together with flavouring, sweetening and aromatising substances and is film-coated. Prepn. is by **granulating** in 1-4C alcohols and **acetone** or mixt. of one of these with **water**, followed by drying, homogenising and film coating. Effervescent material may be included.

USE/ADVANTAGE - Used to protect urinary organs when ifisfamide is used to treat tumours. The prepn. avoids decomposition by air and moisture and masks unpleasant taste. Dwg.0/0

ABEQ US 5262169 A UPAB: 19940111

Tablet comprises 1 pt.wt. mesna; 0.01-1 pts.wt. a binding agent i.e. **PVP**, **gelatin** or **microcrystalline cellulose**; 0.03-0.4 pts.wt. a disintegrant i.e. **starch**, crosslinked **PVP** or bentonite; 0.01-0.2 pts.wt. lubricant i.e. strearate, talcum or polyglycols; and 0.1-1 pts.wt. a filling agent i.e. **starch** , **cellulose**, lactose, fructose, saccharose, sorbitol, mannitol, Ca phospahte or Ca H-phospate. **Tablet** opt. further comprises flavouring, sweetening and/or aromatising substances, and is opt. coated with a pharmaceutically-acceptable film.

USE/ADVANTAGE - To protect urinary organs when ifosfamide is used to treat tumours. As a mucolytic agent. Dwg.0/0

ABEQ EP 468245 B UPAB: 19940608

A **tablet** containing mesna as active substance, optional conventional flavouring, sweetening and aromatic substances, as well

as 0.01-1 parts by weight of a binder, 0.03-0.4 parts by weight of a disintegrating agent, 0.01-0.2 parts by weight of a lubricant, 0.01-1 parts by weight of a filler as well as, in the case of an effervescent **tablet**, an additional 0.05-30 parts by weight of a conventional physiologically acceptable effervescent mixture, each being with respect to one part by weight of mesna.
Dwg.0/0

ABEQ US 5358718 A UPAB: 19941212

Tablet comprises mesna and (a) 0.01-1 pt.wt. binding agent comprising **polyvinylpyrrolidone**, **gelatin** or **microcrystalline cellulose**, (b) 0.03-0.4 pts. wt. disintegrant comprising **starch**, crosslinked **polyvinylpyrrolidone** or bentonite; (2) 0.01-0.2 pts. wt. lubricant comprising stearates, talcum or polyglycols (d) 0.1-1 pts. wt. filling agent comprising **starch**, **cellulose**, lactose, fructose, saccharose, sorbitol, mannitol, Ca phosphate or Ca hydrogenphosphate, and (e) 0.05-30 pts. wt. effervescent mixt. per 1 pts. wt. mesna.

Pref. the **tablet** also contains at least 1 of flavouring sweetening and aromatising substances. The **tablet** contains 10-80 wt.% mesna.

ADVANTAGE - The **tablet** has good chemical stability, is easily administered and is tasteless.
Dwg.0/0

L30 ANSWER 20 OF 34 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
DUPLICATE 1

ACCESSION NUMBER: 1992:458539 BIOSIS
DOCUMENT NUMBER: BA94:99939
TITLE: APPLICATION OF THE SOLID DISPERSION METHOD TO CONTROLLED RELEASE OF MEDICINE II. SUSTAINED RELEASE **TABLET** USING SOLID DISPERSION **GRANULE** AND THE MEDICINE RELEASE MECHANISM.
AUTHOR(S): YUASA H; OZEKI T; KANAYA Y; OISHI K
CORPORATE SOURCE: TOKYO COLLEGE PHARMACY, 1432-1 HORINOUCHI, HACHIOJI, TOKYO 192-03, JPN.
SOURCE: CHEM PHARM BULL (TOKYO), (1992) 40 (6), 1592-1596. CODEN: CPBTAL. ISSN: 0009-2363.
FILE SEGMENT: BA; OLD
LANGUAGE: English

AB In our previous paper, the utility of the solid dispersion for the control of medicine release was studied and the solid dispersion was prepared by the evaporation of **ethanol** after dissolving a **water** soluble medicine (oxyprenolol hydrochloride), soluble **hydroxypropyl cellulose** and insoluble ethylcellulose into **ethanol**. In this paper, the tableting of the above mentioned solid dispersion **granule** and the mechanism of medicine release from this solid dispersion **granule** were studied. **Microcrystalline cellulose** was used as the excipient in this tableting. The disintegration time, crushing strength and porosity were measured for the obtained **tablets**. The pore size distribution in the solid dispersion **granules** was measured before and after the dissolution test with a mercury porosimeter to clarify the mechanism of medicine release from the **granules**. The state of medicine in the **granules** was analyzed by infrared spectrometry, thermal anaylsis and X-ray diffractometry. As a result, it was clarified that oxprenolol hydrochloride in

ethylcellulose was released from the **granules** by diffusing and dissolving into the medium in the channels formed by the dissolving of **hydroxypropyl cellulose** and oxprenolol hydrochloride, as inferred in the previous paper. Furthermore, the compression pressure and pH scarcely affected the dissolution behavior of oxprenolol hydrochloride from the **granules**. It was thought that the homogeneity of the content of oxprenolol hydrochloride in the **granules** was very high, and the dissolution rate from the **granules** could be controlled by the particle size of the **granules** and the composition ratio of ethylcellulose and **hydroxypropyl cellulose** in the **granules**. These results suggest the solid dispersion **granule** and the **tablet** prepared with this **granule** are useful for the sustained release **granule** and **tablet**.

L30 ANSWER 21 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1991-132631 [18] WPIDS
DOC. NO. CPI: C1991-057180
TITLE: Oral agent to promote osteogenesis in osteo-porosis etc. - contg. zinc salt (complex) of L-carnosine to improve effectiveness and reduce side effects e.g nausea.
DERWENT CLASS: B03
INVENTOR(S): YAMAGUCHI, M
PATENT ASSIGNEE(S): (HAMA) HAMARI CHEM LTD; (ZERI) ZERIA PHARM CO LTD; (HAMA) HAMARI CHEM CO LTD
COUNTRY COUNT: 17
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 9104737	A	19910418	(199118)*		18
RW: AT BE CH DE DK ES FR GB IT LU NL SE					
W: CA KR US					
JP 03120257	A	19910522	(199127)		
EP 495106	A1	19920722	(199230)	EN	9
R: AT BE CH DE ES FR GB IT LI NL SE					
US 5294634	A	19940315	(199411)		5
EP 495106	A4	19920930	(199523)		
EP 495106	B1	19951206	(199602)	EN	10
R: AT BE CH DE ES FR GB IT LI NL SE					
DE 69024060	E	19960118	(199608)		
JP 2811331	B2	19981015	(199846)		5
KR 147855	B1	19980817	(200022)		
CA 2067374	C	20000704	(200044)	EN	

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 03120257	A	JP 1989-255325	19891002
EP 495106	A1	EP 1990-914433	19900928
		WO 1990-JP1255	19900928
US 5294634	A	WO 1990-JP1255	19900928
		US 1992-842174	19920402
EP 495106	A4	EP 1990-914433	
EP 495106	B1	EP 1990-914433	19900928

DE 69024060	E	WO 1990-JP1255 19900928 DE 1990-624060 19900928 EP 1990-914433 19900928 WO 1990-JP1255 19900928
JP 2811331	B2	JP 1989-255325 19891002 WO 1990-JP1255 19900928
KR 147855	B1	KR 1992-700687 19920327
CA 2067374	C	CA 1990-2067374 19900928 WO 1990-JP1255 19900928

FILING DETAILS:

PATENT NO	KIND		PATENT NO
EP 495106	A1	Based on	WO 9104737
US 5294634	A	Based on	WO 9104737
EP 495106	B1	Based on	WO 9104737
DE 69024060	E	Based on	EP 495106
		Based on	WO 9104737
JP 2811331	B2	Previous Publ.	JP 03120257
CA 2067374	C	Based on	WO 9104737

PRIORITY APPLN. INFO: JP 1989-255325 19891002

AN 1991-132631 [18] WPIDS

AB WO 9104737 A UPAB: 19930928

Material to promote the formation of bone contains zinc L-carnositine or a zinc-L-carnositine complex.

Pref. **crystalline** zinc L-carnositine (complex) was prepd. by reacting 1 mol of L-carnositine, 0.8-1.2 mol of zinc salt and 1.6-2.4 mol of alkali metal cpd. in an anhydrous organic solvent or organic solvent contg. **water**, at room temp. or above. The solvent is, e.g., (m)**ethanol**, **propanol**, acetonitrile, DMSO, N,N-dimethylformamide, THF or **acetone**, opt. contg. up to 50% **water**. The zinc salt anion is, e.g., halide, sulphate, nitrate, perchlorate, **acetate** or other carboxylate, acetoacetate, etc.. The alkali metal cpd. is LiOH, KOH, NaOH, or potassium or sodium alcoholate.

USE/ADVANTAGE - Zinc carnositine increases calcium-, zinc- and DNA-densities of bone. The alkaline phosphatase activity is increased, while toxicity and incidence of side-effects (diarrhoea, vomiting) is reduced. The material is used to treat abnormal bone metabolism after fracture, and in diseases, e.g., osteoporosis. The material is effective when taken orally, at a dose of 1-2000 (10-200) mg/day, all divided into 1 to 3 doses.

0/0

ABEQ US 5294634 A UPAB: 19940428

An osteogenesis promoter is a Zn salt or complex of L-carnosine, pref. together with a pharmaceutically adjuvant, esp. excipient, binder, surfactant and/or; lubricant, as well as a coating base, e.g. OH-propyl-**Me-cellulose** phthalate, a methacrylate copolymer and also a solvent, e.g. safflower oil, glycerol.

The promoter can be in the form of a **tablet**, powder, **granule** or capsule for oral administration, a soln. suitable for parental injection or a suppository. The promoter contains 1-2,000, esp. 10-200 mg active ingredient.

USE/ADVANTAGE - To counteract the effect of bone mass deterioration with advancing age. The cpd. has an extremely low

toxicity and few side effects.
Dwg.0/0
ABEQ EP 495106 B UPAB: 19960115
An osteogenesis promoter comprising, as an active ingredient, a zinc salt or complex of L-carnosine.
Dwg.0/0

L30 ANSWER 22 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1991-112630 [16] WPIDS
DOC. NO. CPI: C1991-048314
TITLE: Therapeutic agents for osteoporosis - comprises horn powder extract formed into e.g. **tablets** with corn-**starch** and lactose powder.
DERWENT CLASS: A96 B04
PATENT ASSIGNEE(S): (MORI-N) MORISHITA JINTAN KK
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
JP 03052818	A	19910307	(199116)*		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 03052818	A	JP 1989-188046	19890720

PRIORITY APPLN. INFO: JP 1989-188046 19890720
AN 1991-112630 [16] WPIDS
AB JP 03052818 A UPAB: 19930928
Therapeutic agents for osteroporosis comprise horn powder or an extract of horn belonging to Cervine gp..
The horn powder or an extract is named Rokujo in Chinese medicine prepd. from cervine gp. such as Cervus nippon Temminck or Cervus elaphus L). In extn. of horn powder, horn powder is extracted with **water**, or alcohols (e.g. **methanol** or **ethanol**) or a mixt., and condensed to form a condensate. The therapeutic agent is in form of pills, **tablets** or **granules**, contg. 0.5-5 wt.%, pref. 1-2 wt.% of the powder or extract. The dose is 200-500 mg/day (as extract).
USE/ADVANTAGE - Used for therapy of osteoporosis.
In an example, Rokujo (5g) is formed into powder (200 mesh or less), and formed into **tablets** (one **tablet**: 200mg) with addn. of cornstarch (10g), lactose powder (20g), calcium carboxymethylcellulose (10g), **microcrystalline cellulose** (40g), **polyvinylpyrrolidone** (5g) and talc (10g).
0/0

L30 ANSWER 23 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1991-012368 [02] WPIDS
DOC. NO. CPI: C1991-005575
TITLE: Amino acetophenone prepn., for pharmaceutical use - contains e.g. dry aluminium hydroxide gel prepn. and is coated with e.g. **hydroxy**

propyl cellulose.

DERWENT CLASS: A96 B05
PATENT ASSIGNEE(S): (TAKE) TAKEDA CHEM IND LTD
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
JP 02286614	A	19901126	(199102)*		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 02286614	A	JP 1989-108596	19890426

PRIORITY APPLN. INFO: JP 1989-108596 19890426
AN 1991-012368 [02] WPIDS
AB JP 02286614 A UPAB: 19930928
Prepn. contains aminoacetophene and/or the anti-acid agents, which are coated with a coating agent.

The anti-acid agent is dry aluminium hydroxide gel, magnesium aluminate silicate, magnesium silicate synthetic hydrotalcite, The coating agent is **hydroxypropyl cellulose** (HPC), hydroxypropyl **methyl cellulose** (TC-5), **gelatin**, ethylcellulose, hydroxy opyl **methylcellulose** phthalate (HP-55)etc. The additive amt. of the anti-acid agent is 0.05-100 wt. pts. of 1 wt. pts. of acetoaminophene. The aminoacetophene-contg. prepn. is used for pharmaceutical prods. contg. main substance such as chlor-phenylamine maleate, dihydrocodeine phosphate, or aspirin.

USE/ADVANTAGE - Acetoaminophene prepn. is free from colouring; it is useful for pharmaceutical use.

In an example, (I) synthetic hydrotalcite (40g) and anhydrous caffeine (8g) are mixed with TC-5 10% aq. soln. (40g) and formed into **granules**. The **granules** (1g) are mixed with acetoaminophene (9g) and magnesium stearate (0.1g) and formed into a **tablet** (500mg).m (II) Magnesium carbonate (1800g), cornstarch (600g), **crystalline cellulose** (300g) and pluronic (45g) are mixed with **water** and formed into **granules**. The **granules** (2500g) are coated with a coating soln. of AEA (600g), talc (250g), **ethyl alcohol** (3000g), and **acetone** (3000g). The coated **granules** (300g) are mixed with acetoaminophene **granules** which consist of acetoaminophene (900g), anhydrous caffeine (75g), lactose (325g), cornstarch (100g) and HPC (70g)). (300g), **crystalline cellulose** (97g), and magnesium stearate (3g) and formed into a **tablet** (235mg).
0/0

L30 ANSWER 24 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1990-379457 [51] WPIDS
DOC. NO. CPI: C1990-165218
TITLE: Antiulcer agents contain as active substance beta-cyclodextrin - and protect activity of stomach mucosa.
DERWENT CLASS: B04

PATENT ASSIGNEE(S): (MORP) MORISHITA PHARM CO LTD
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
JP 02273620	A	19901108	(199051)*		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 02273620	A	JP 1989-95472	19890414

PRIORITY APPLN. INFO: JP 1989-95472 19890414
AN 1990-379457 [51] WPIDS
AB JP 02273620 A UPAB: 19930928
Antiulcer agents contain as active substance, beta-cyclodextrin of formula (C6H10O5)7. Beta-cyclodextrin (4950g) and **hydroxypropyl cellulose** H (50g) are mixed with **water** or a mixt. of **ethanol** and **water**, and formed into **granule**, which is formed into powder of 12-42 mesh. Beta-cyclodextrin (200g), **crystalline cellulose** (48.5mg) and Mg stearate (1.5 mg) are formed into a **tablet**.
USE/ADVANTAGE - Antiulcer agents of beta-cyclodextrin protect activity of stomach mucosa.
0/0

L30 ANSWER 25 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1990-136450 [18] WPIDS
DOC. NO. CPI: C1990-060089
TITLE: Immunosuppressant compsn. - contg. e.g. 5-hydroxymethyl furfural, 5-oxo proline, phenyl **ethyl alcohol** or its glycoside, for auto immune diseases.
DERWENT CLASS: B03
PATENT ASSIGNEE(S): (TSUG-N) TSUGOKU TSUI KENKYUIN; (TSUR) TSUMURA & CO
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
JP 02085211	A	19900326	(199018)*		12

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 02085211	A	JP 1989-11824	19890123

PRIORITY APPLN. INFO: JP 1988-59252 19880315; JP 1989-11824 19890123
AN 1990-136450 [18] WPIDS
AB JP 02085211 A UPAB: 19960115
Immunosuppressant compsns. comprise cpds. I, II, III or IV as an

active ingredient, where R=formyl or carboxy; R1=H or B-D-galactopyranose; R2=H or methyl.

I-IV are obtd. by extn. of Rehmannia glutinosa Libosch var. hueichingensis Chao et Svhih or Svrophulariceae with **water**, alcohol, aq. alcohol or aq. **acetone**.

USE/ADVANTAGE - Compsn. has reduced nephrotoxicity for treatment of autoimmune diseases, and can take the form of **tablets**, capsules, **granules**, powders, injections, solutions for external use, ointments or suppositories. The daily dose of I-IV is 30-1000 mg(p.o.) of 1-300 mg (i.v., s.c., i.m.) for adults.

In an example corn **starch** (44 g), **crystalline cellulose** (40 g), carboxymethyl **cellulose** calcium (5 g), light silicic anhydride (0.5 g), magnesium stearate (0.5 g) and 5-hydroxy-furoic acid (10 g) were mixed homogeneously and formulated into **tablets** (200 mg/**tablet**). @(12pp Dwg.No.0/0)@
0/0 m
Dwg.0/0

L30 ANSWER 26 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1990-079189 [11] WPIDS
DOC. NO. CPI: C1990-034771
TITLE: Novel anti-tussive agent - with improved activity contain double-enkephalin.
DERWENT CLASS: B04
PATENT ASSIGNEE(S): (ROMA-N) ROMAN KOGYO KK
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
JP 02032028	A	19900201	(199011)*		5
JP 2700799	B2	19980121	(199808)		4

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 02032028	A	JP 1988-181275	19880719
JP 2700799	B2	JP 1988-181275	19880719

FILING DETAILS:

PATENT NO	KIND	PATENT NO
JP 2700799	B2 Previous Publ.	JP 02032028

PRIORITY APPLN. INFO: JP 1988-181275 19880719
AN 1990-079189 [11] WPIDS
AB JP 02032028 A UPAB: 19930928
Anti-tussives contg. cpd. of formula (Tyr-D-Ala-Gly-Phe-NH)2-(I) or its pharmaceutically acceptable salts are new.

Pref. the cpd. (I) is called 'Double-Enkephalin', obtd. from benzyloxy carbonyl-Phe-4-nitrophenyl, through benzyloxy carbonyl-Phe-NH-NH2, (benzyloxy carbonyl-Phe-NH-)2, (HBr-Phe-NH-)2, and (tetrabutyloxy carbonyl-Tyr-D-Ala-Gly-Phe-NH-)2; having (alpha)24D + 7.9 (c1, DMF), Rf (I) = 0.85, Rf (III) = 0.72. The

salt is hydrochloride, sulphate, **acetate**, or maleate. (I) is formed into an oral prepn. such as **tablets**, capsules, powder, **granule**, troches, or a liq. together with binders (e.g. syrup, Arabic gum, **gelatin**, sorbitol, or **polyvinylpyrrolidone**); fillers (e.g. lactose, sugar, cornstarch, calcium phosphate, sorbitol or glycerin); disintegrators (e.g. **starch**, **polyvinylpyrrolidone**, or **microcrystalline cellulose**); or lubricants (e.g. magnesium stearate); or formed into an emulsion, syrup or elixir together with suspending agents (e.g. sorbitol, **methylcellulose**, **gelatin**, hydroxymethyl **cellulose** or carboxymethyl **cellulose**); emulsifiers (e.g. lecithin, sorbitan mono-oleate, or polyglycerol); aq. or non-aq. solvent (e.g. almond oil coconut oil, glycerin ester, propylene glycol, **ethanol**, glycerin, or **water**) or preservatives (e.g. methyl or propyl p-hydroxy benzoate, or sorbic acid); or formed into parenteral prepns. such as subcutaneous or intravenous injection. Dose of (I) is 2-300mg, pref. 5-100 mg/day for an adult.

USE - As anti-tussives.

0/0

L30 ANSWER 27 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1989-029116 [04] WPIDS
DOC. NO. CPI: C1989-012846
TITLE: Herbicide for paddy field - contg. 1-alpha, alpha-di methyl-p-methylbenzyl-3-p-tolyl urea and sulphonamide deriv..
DERWENT CLASS: A97 C02 C03
PATENT ASSIGNEE(S): (HOKK) HOKKO CHEM IND CO LTD
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
JP 63303903	A	19881212	(198904)*		7

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 63303903	A	JP 1987-138970	19870604

PRIORITY APPLN. INFO: JP 1987-138970 19870604
AN 1989-029116 [04] WPIDS
AB JP 63303903 A UPAB: 19930923
Herbicide for paddy field contains 1-alpha,alpha-dimethyl-p-methylbenzyl- 3-p'-tolylurea of formula (1) and N-((4,6-dimethoxypyrimidin-2-yl) aminocarbonyl)-1-methyl -4-ethoxycarbonyl-5- pyrazolsulphonamide of formula (2), as active ingredients.

The compsn. may be in form of emulsion, wettable powder, liq. flowable sol., powder, driftless powder, **granules**, fine grains or **tablets**. The solid carrier is pref. mineral powder (kaolin, bentonite, clay, talc, diatomaceous earth, ammonium sulfate), vegetable powder (soybean powder, wheat flour, wood powder, tobacco powder, **starch**, **crystalline**

cellulose), polymers (petroleum resin, polyvinyl chloride, ketone resin), alumina, silicate, wax. The liq. carrier is pref. **water**, alcohols (meth alcohol, **ethyl alcohol**, **isopropyl alcohol**, ethylene glycol, benzyl alcohol), aromatic hydrocarbons (toluene, benzene, xylene, ethylbenzene, methylnaphthalene), halogenated hydrocarbons (chloroform CCl4), ethers (ethyl ether, ethylene oxide), ketones (**acetone**, methyl ethyl ketone), esters (ethyl **acetate** , butyl **acetate**), acid amides (dimethylformamide), nitriles (acetonitrile, acrylonitrile), sulphoxides (dimethylsulphoxide).

USE/ADVANTAGE - Synergestic and selective herbicidal activity is attained by combination of (1) and (2). The compsn. kills harmful weeds in paddy field while it does not injure paddy-rice plants. 0/0

L30 ANSWER 28 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1988-108788 [16] WPIDS
DOC. NO. CPI: C1988-049013
TITLE: Therapeutic and preventive agent for prostatic hypertrophy - contg. specified peptide contg. metals and having arginine and glycine at N-terminal end.
DERWENT CLASS: A96 B04
PATENT ASSIGNEE(S): (NNTR) NIPPON SHOJI KK
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
JP 63057526	A	19880312	(198816)*		11

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 63057526	A	JP 1986-202876	19860828

PRIORITY APPLN. INFO: JP 1986-202876 19860828
AN 1988-108788 [16] WPIDS
AB JP 63057526 A UPAB: 19930923
The agent for prostatic hypertrophy contains a peptide comprising the following aminoacids lysine (3), arginine (5), aspartic acid (3), serine (5), glutamic acid (7), proline (6), glycine (35), alanine (11), valine (3), isoleucine (1), leucine (2) and phenylalanine (1) (where the figures refer to the mean mol number based on 1 mol of peptide); and metals; having arginine and glycine at N-terminal and average mol. wt. of 8000-9000; and relative motion rate by SDS disc electrophoresis of 0.25; soluble in **water** and **methanol** and insol. in **ethanol**, ethylether and ethylacetate.

In the prepn. of the peptide, prostate obtd. from swine, bovine, or horse is pref. homogenate, filtered, condensed and extracted with **ethanol**. Obtained extract is pref. treated with column chromatography and purified to form the peptide. (2) The metal is pref. Ca, Mg or Mn. (3) Dose through oral admin. is 3-3000 micro/kg day.

USE/ADVANTAGE - New peptide with prostate degenerating action and prostic acid phosphatase activity useful for prostatic hypertrophy.

In an example, the peptide (1.0mg) was dissolved in **water**. A mixt. comprising **starch** (19.0mg), **crystalline cellulose** (75,0), hydroxypropyl cellulsoe (4.5) and magnesium stearate (0.5) was added to the peptide, formed into **granules** and dried to obtain **tablets**.
0/0

L30 ANSWER 29 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1988-003453 [01] WPIDS
DOC. NO. CPI: C1988-001563
TITLE: Therapeutic agents for urinary bladder diseases - contains 4-ethyl amino-2-butynyl phenyl cyclohexyl glycolate hydrochloric acid salt as active ingredient.
DERWENT CLASS: B05
PATENT ASSIGNEE(S): (KODA-N) KODAMA KK
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
JP 62267224	A	19871119	(198801)*		6
JP 07055904	B2	19950614	(199528)		6

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 62267224	A	JP 1986-110187	19860514
JP 07055904	B2	JP 1986-110187	19860514

FILING DETAILS:

PATENT NO	KIND		PATENT NO
JP 07055904	B2	Based on	JP 62267224

PRIORITY APPLN. INFO: JP 1986-110187 19860514
AN 1988-003453 [01] WPIDS
AB JP 62267224 A UPAB: 19930923
Therapeutic agents for urinary bladder diseases contg., as active substance, 4-ethylamino-2 -butynylphenylcyclohexyl glycolate hydrochloric acid salt (M-6) are claimed.

The cpd. (M-6) has the formula (I) and a m.pt. of 142-144 deg.C together with solubility in **methanol**, **ethanol** and **water**. The therapeutic agents are used in the form of capsules, **tablets**, powder, **granules**, or as an oral liq. prepn. The dose is 9mg/day (approx.) for an adult.

USE/ADVANTAGE - The cpd. is used for therapeutic drugs for urinary bladder diseases such as pollakisuria, sychnuria, cystitis, nocturnal enuretic, etc.

In an example, M-6 (300g), lactose (15530g), **crystalline cellulose** (1780g), **hydroxypropylcellulose** (200g) and magnesium stearate (190g)

were mixed together and formed into **tablets**. (one **tablet**: 180mg). Also M-6 (300g), lactose (16300g), corn **starch** (3000g) and **hydroxypropylcellulose** (400g) were mixed and formed into **granules** (0.5mm).
0/0

L30 ANSWER 30 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1987-016679 [03] WPIDS
DOC. NO. CPI: C1987-006731
TITLE: Prazosin-contg. drugs for treating hypertension - contain at least 1 of **polyvinyl pyrrolidone**, polyethylene glycol, propylene glycol, gel polymer with gastric and enteric soluble vehicles.
DERWENT CLASS: A96 B03
PATENT ASSIGNEE(S): (TOAE) TOA EIYO LTD
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
JP 61227524	A	19861009	(198703)*		5

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 61227524	A	JP 1985-65060	19850330

PRIORITY APPLN. INFO: JP 1985-65060 19850330
AN 1987-016679 [03] WPIDS
AB JP 61227524 A UPAB: 19930922
The drugs contg. (1) non-**crystalline** prazosin and (2) at least one of **polyvinylpyrrolidone**, polyethyleneglycol, propylene glycol, **water**-soluble gel polymer, gastric soluble vehicle and entric soluble vehicle.
The combined ratio of (1) and (2) is 1:0.2-30 (wt.), pref. 1:10 (wt.). The **water**-soluble gel polymer is e.g. **hydroxypropyl cellulose**, hydroxypropylmethyl **cellulose** and/or **methylcellulose**. The gastric soluble vehicle is e.g. polyvinylacetal diethylaminoacetate, and/or dimethylaminoethyl methacrylate-methyl methacrylate copolymer. The enteric soluble vehicle is e.g. hydroxypropyl **methylcellulose** phthalate, **cellulose acetate** phthalate, carboxymethylethylphthalate, and/or methacrylate-methylmethacrylate copolymer.
To prepare the drug, (1) and (2) are dissolved in solvents (e.g. **methanol**, **ethanol**, ispropanol, **acetone**, chloroform, methylene chloride and/or benzylalcohol.) and the solvents are removed. The drugs are powders, **granules**, capsules, **tablets**, suppositories, ointments, etc.
USE/ADVANTAGE - Prazosin-contg. drugs with high solubility used for treating hypertension.
0/0

L30 ANSWER 31 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD

ACCESSION NUMBER: 1986-275549 [42] WPIDS
DOC. NO. CPI: C1986-119164
TITLE: Anti arteriosclerosis drug - contg. 2-acetyl thio-3-(4-phenyl thiobenzoyl) propionic acid or its salts.
DERWENT CLASS: B05
PATENT ASSIGNEE(S): (TAIS) TAISHO PHARM CO LTD
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
JP 61200914	A	19860905	(198642)*		6

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 61200914	A	JP 1985-39407	19850228

PRIORITY APPLN. INFO: JP 1985-39407 19850228
AN 1986-275549 [42] WPIDS
AB JP 61200914 A UPAB: 19930922
Drug contains as active substance, 2-acetylthio-3-(4-phenylthiobenzyl) propionic acid (A) or its salts. (A) can be obtd. from 3-(4-phenylthiobenzoyl)acrylic acid and thioacetic acid, 3-(4-Phenylthiobenzoyl) acrylic acid can be obtd. by Friedel-Craft's reaction of diphenyl sulphide and maleic anhydride. The acceptable salts are metal salts of Na, K, Ca, Mg, Al, etc and amine salts of mono-, di- and tri-substd. amines.

Dosage of (A) is 100-1500 mg/day, in the form of **tablets, granules**, capsules, suspension, liq. etc. Other additives (e.g. lactose, glucose, **crystalline cellulose**, mannitol, corn **starch**, **hydroxypropyl cellulose**, PVA, **gelatin**, ethylene glycol, calcium stearate, talc, polyethylene glycol, hardened oil etc.) are used for the solid prepn. Additives (e.g. **water, ethanol**, propylene glycol, polyethylene glycol etc.) can be used for liq. prepn.

USE/ADVANTAGE - (A) has lipid metabolism-improving effect and can be used for prevention and treatment of arteriosclerosis, hyperlipaemia, myocardial infarction or angina pectoris.
0/0

L30 ANSWER 32 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1984-007960 [02] WPIDS
DOC. NO. CPI: C1984-003188
TITLE: Coating compsn. for solid drug - comprising saccharide and/or fine powder disintegrator for **tablet** incorporated in enteric coating base.
DERWENT CLASS: A96 B07
PATENT ASSIGNEE(S): (SHIE) SHINETSU CHEM IND CO LTD
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG

JP 58201724	A	19831124 (198402)*	5
JP 01018057	B	19890403 (198917)	

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 58201724	A	JP 1982-82588	19820517

PRIORITY APPLN. INFO: JP 1982-82588 19820517
AN 1984-007960 [02] WPIDS
AB JP 58201724 A UPAB: 19930925
Compsn. comprises 5-200 (10-100) pts. wt. saccharide and/or fine powder (mean dia. 100 (80) microns or less) disintegrator for **tablet** incorporated into enteric 100 pts. wt. coating base.
Pref. as the coating base, CMC, **cellulose acetate** phthalate, or pref. hydroxypropylmethyl **cellulose** phthalate or hydroxypropyl **methyl cellulose** are used. As the saccharides, sucrose, mannitol, glucose, etc. are used. As the disintegrator, low-substd. **hydroxypropyl cellulose**, CMC, **crystal cellulose**, **starch**, etc. are used. The compsns. are used in soln. or dispersion form. Pref. solvent includes alcohols, **acetone/water**, alcohols/ chlorinated hydrocarbon (e.g. methylene chloride), **acetone**/ chlorinated hydrocarbon, etc. Concn. of the compsn. in the solvent is 2-20 wt.%. Colourants, plasticisers, talc, surfactants, waxes etc. are selectively added. A small amt. of high mol. cpd. (e.g. (hydroxypropyl **methylcellulose**, etc.) can also be added as film assistant.
Coating of **granules** is more difficult than for **tablets**, because of their large surface area. New compsn. for coating **granules** inhibits **granulation** or aggregation phenomenon and coating is sufficiently performed with relative small amt. Drug components can be easily eluted in gastric juice using this coating.
0/0

L30 ANSWER 33 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1983-847882 [51] WPIDS
DOC. NO. CPI: C1983-124382
TITLE: Taurocyamine cholesterol lowering agents for oral admin. - used esp. to decrease cholesterol in blood and liver.
DERWENT CLASS: A96 B05
PATENT ASSIGNEE(S): (TAIS) TAISHO PHARM CO LTD
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
JP 58194812	A	19831112	(198351)*		5
JP 02009008	B	19900228	(199012)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 58194812	A	JP 1982-79055	19820511

PRIORITY APPLN. INFO: JP 1982-79055 19820511
AN 1983-847882 [51] WPIDS
AB JP 58194812 A UPAB: 19930925
Cholesterol lowering agents contg. taurocyamine of formula (I) (2-amidoethanesulphonic acid) as effective component. Taurocyamine is prepd. by warming taurine with s-methyl-isothiourea sulphate in ammonia soln., and recrystallising the prod. from **water**. LD50 is 3000 mg/Kg or more p.o., and 1000 mg/Kg or more i.p. and i.v. in mouse.

In an example taurocyamine 500 g, **cellulose crystals** 110 g, CMC calcium 10 g and anhydrous silicic acid 10g are mixed uniformly. **Hydroxypropyl cellulose** 15g is dissolved in **isopropyl alcohol**, added to the mixt., and prepd. as **granules**. Magnesium stearate 5 g is added and resultant formed into 650 mg **tablets**. Alternatively, taurocyamine 500 g and lactose 480 g are mixed uniformly. **Hydroxypropyl cellulose** 20g are dissolved in **isopropyl alcohol**, and added to the mixt. Resultant is prepd. as **granules**.

Agents are administered orally as **granules**, powders, capsules, or **tablet** at 50-2500 mg/day/adult (as taurocyamine).
0/0

L30 ANSWER 34 OF 34 WPIDS COPYRIGHT 2002 DERWENT INFORMATION LTD
ACCESSION NUMBER: 1982-62660E [30] WPIDS
TITLE: 4,4'-2(Pyridylmethylene) bisphenol solid compsn. - contg. sodium di octyl sulpho succinate and an organic acid, used to promote peristalsis and defecation.
DERWENT CLASS: B03
PATENT ASSIGNEE(S): (EISA) EISAI CO LTD
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
JP 57099521	A	19820621	(198230)*		5
JP 63020409	B	19880427	(198820)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 57099521	A	JP 1980-173866	19801211

PRIORITY APPLN. INFO: JP 1980-173866 19801211
AN 1982-62660E [30] WPIDS
AB JP 57099521 A UPAB: 19930915
Bisacodyl-contg. solid compsn. contains sodium dioctylsulphosuccinate and 1-10wt.% organic acid (I) w.r.t. sodium dioctylsulphosuccinate. Pref. (I) is citric or tartaric acid.

Searcher : Shears 308-4994

Pref. the compsns. are **granules**, (sugar coated) **tablet**, intestine-soluble **tablets** or hard capsule preparations filled with **granulating** agent.

Bisacodyl acts on intestinal mucous. Bisacodyl is 4,4'-(2-pyridylmethylene)bisphenol diacetate of formula (II).

In an example, bisacodyl (50g), sodium dioctylsulphosuccinate (300g), citric acid (4.5g), silisic anhydride (450g), **crystalline cellulose** (300g), lactose (595.5g), and corn **starch** (500g) were mixed with 50% **ethanol -water, granulated** in cylinder and hot air-dried at 60 deg.C. The **granules** were regulated and charged as 220 mg portions into No 2 size hard capsules.

FILE 'CAPLUS' ENTERED AT 10:22:55 ON 18 APR 2002
L31 0 S L27 AND PILL

FILE 'MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH, JICST-EPLUS, JAPIO' ENTERED AT 10:24:19 ON 18 APR 2002
L32 1 S L31
L33 0 S L32 NOT L29

(FILE 'MEDLINE' ENTERED AT 10:29:33 ON 18 APR 2002)
L34 0 SEA FILE=MEDLINE ABB=ON PLU=ON TABLET/CT
L35 10492 SEA FILE=MEDLINE ABB=ON PLU=ON CELLULOSE/CT
L36 0 SEA FILE=MEDLINE ABB=ON PLU=ON L34 AND L35

L35 10492 SEA FILE=MEDLINE ABB=ON PLU=ON CELLULOSE/CT
L37 17023 SEA FILE=MEDLINE ABB=ON PLU=ON SOLVENTS/CT
L38 117 SEA FILE=MEDLINE ABB=ON PLU=ON L35 AND L37
L39 41259 SEA FILE=MEDLINE ABB=ON PLU=ON WATER/CT
L40 14 SEA FILE=MEDLINE ABB=ON PLU=ON L38 AND L39

L40 ANSWER 1 OF 14 MEDLINE
AN 2001655156 MEDLINE
TI Cellulose pretreatments of lignocellulosic substrates.
AU Weil J; Westgate P; Kohlmann K; Ladisch M R
SO ENZYME AND MICROBIAL TECHNOLOGY, (1994 Nov) 16 (11) 1002-4. Ref: 23
Journal code: AL3; 8003761. ISSN: 0141-0229.
AB Cellulose in inedible plant materials, forestry residues, and municipal wastes must be pretreated to disrupt its physical structure, thereby making its hydrolysis to glucose practical. Developments since 1991 are summarized.

L40 ANSWER 2 OF 14 MEDLINE
AN 2001643519 MEDLINE
TI Cellulose acetate microspheres prepared by o/w emulsification and solvent evaporation method.
AU Soppimath K S; Kulkarni A R; Aminabhavi T M; Bhaskar C
SO JOURNAL OF MICROENCAPSULATION, (2001 Nov-Dec) 18 (6) 811-7.
Journal code: 8500513. ISSN: 0265-2048.
AB The study is concerned with the development of cellulose acetate microspheres by the o/w emulsification and solvent evaporation method in the presence of polyvinyl alcohol as an emulsifying agent. The influence of process parameters such as solvent mixture (acetone + dichloromethane) composition, concentration of the emulsifying agent and speed of stirring has been examined. The microspheres have been analysed for their size, drug loading capacity and release

kinetics. Spherical and smooth surfaced microspheres with encapsulation efficiencies ranging between 73-98%, were obtained. Use of acetone in the oil phase drastically reduced the particle size. Slow drug release from microspheres occurred up to approximately 8 h and the release was found to be non-Fickian. An optimization procedure was employed to investigate and identify the key parameters affecting the properties of the microspheres. A 33 randomized full factorial design was used in the analyses of the data. A linear model with interactive terms was generated using a multiple linear regression approach. The statistical analysis confirms the significant effect of solvent composition and concentration of emulsifying agent on the drug release characteristics.

L40 ANSWER 3 OF 14 MEDLINE
AN 2001494266 MEDLINE
TI Study of processing parameters influencing the properties of diltiazem hydrochloride microspheres.
AU Bhalerao S S; Lalla J K; Rane M S
SO JOURNAL OF MICROENCAPSULATION, (2001 May-Jun) 18 (3) 299-307.
Journal code: JMG; 8500513. ISSN: 0265-2048.
AB Diltiazem hydrochloride-ethylcellulose microspheres were prepared by the water-in-oil emulsion-solvent evaporation technique. Small and spherical microspheres having a mean microsphere diameter in the range of 40-300 microm and entrapment efficiency of approximately 60-90% were obtained. Scanning electron micrographs of drug-loaded microspheres showed the presence of uniformly distributed small pores and absence of drug crystals on their surface, indicating simultaneous precipitation of drug and the polymer from the solvent during solvent evaporation. Differential scanning calorimetric analysis confirmed the absence of any drug-polymer interaction. The in vitro release profile could be altered significantly by changing various processing parameters to give a controlled release of drug from the microspheres. The stability studies of the drug-loaded microspheres showed that the drug was stable at storage temperatures, 5-55 degreesC, for 12 weeks.

L40 ANSWER 4 OF 14 MEDLINE
AN 2001396183 MEDLINE
TI Drying behaviour of two sets of microcrystalline cellulose pellets.
AU Berggren J; Alderborn G
SO INTERNATIONAL JOURNAL OF PHARMACEUTICS, (2001 May 21) 219 (1-2) 113-26.
Journal code: DA4; 7804127. ISSN: 0378-5173.
AB The objective was to study contraction and densification of two sets of microcrystalline cellulose pellets, prepared using water (W) or a 25/75% w/w water/ethanol (W/E) mixture, during drying. The pellets were dried on microscope slides, photographed and weighed at set times. The porosity of the dry pellets was determined by mercury pycnometry. From pellet size, weight and porosity data, contraction and densification of the pellets and the relationship of these to the liquid content of the pellets during drying were calculated. Both types of pellets contracted and densified during drying. The initial porosity was similar for both types, but the final porosity of the dry pellets was higher for the W/E pellets. Thus, the difference in final pellet porosity between the two types was caused by a difference in densification during drying rather than a different degree of densification during the pelletisation

procedure. The contraction rate and the relationships between contraction and the volume of removed liquid, and contraction and the degree of liquid saturation differed between the two types of pellet. The difference in drying behaviour between the two types of pellets can be explained by a liquid related change in both contraction driving force and contraction counteracting force or by a different contraction mechanism.

L40 ANSWER 5 OF 14 MEDLINE
AN 2001171711 MEDLINE
TI Supercritical CO2 pretreatment of lignocellulose enhances enzymatic cellulose hydrolysis.
AU Kim K H; Hong J
SO BIORESOURCE TECHNOLOGY, (2001 Apr) 77 (2) 139-44.
Journal code: DUV; 9889523. ISSN: 0960-8524.
AB The supercritical carbon dioxide (SC-CO2) pretreatment of lignocellulose for enzymatic hydrolysis of cellulose was investigated. Aspen (hardwood) and southern yellow pine (softwood) with moisture contents in the range of 0-73% (w/w) were pretreated with SC-CO2 at 3100 and 4000 psi and at 112-165 degrees C for 10-60 min. Each pretreated lignocellulose was hydrolyzed with commercial cellulase to assess its enzymatic digestibility. Untreated aspen and southern yellow pine (SYP) gave final reducing sugar yields of 14.5 +/- 2.3 and 12.8 +/- 2.7% of theoretical maximum, respectively. When no moisture was present in lignocellulose to be pretreated, the final reducing sugar yield from hydrolysis of SC-CO2-pretreated lignocellulose was similar to that of untreated aspen. When the moisture content of lignocellulose was increased, particularly in aspen, significantly increased final sugar yields were obtained from enzymatic hydrolysis of SC-CO2-pretreated lignocellulose. When the moisture content of lignocellulose was 73% (w/w) before pretreatment, the sugar yields from the enzymatic hydrolysis of aspen and southern yellow pine pretreated with SC-CO2 at 3100 psi and 165 degrees C for 30 min were 84.7 +/- 2.6 and 27.3 +/- 3.8% of theoretical maximum, respectively. The SC-CO2 pretreatments of both aspen and SYP with moisture contents of 40, 57, and 73% (w/w) showed significantly higher final sugar yields compared to the thermal pretreatments without SC-CO2.

L40 ANSWER 6 OF 14 MEDLINE
AN 2000405537 MEDLINE
TI An enhanced process for encapsulating aspirin in ethyl cellulose microcapsules by solvent evaporation in an O/W emulsion.
AU Yang C Y; Tsay S Y; Tsiang R C
SO JOURNAL OF MICROENCAPSULATION, (2000 May-Jun) 17 (3) 269-77.
Journal code: JMG; 8500513. ISSN: 0265-2048.
AB An enhanced process for microencapsulating aspirin in ethylcellulose was demonstrated using an oil-in-water emulsification/solvent evaporation technique. Methylene chloride (CH2Cl2) was used as the dispersed medium and water as the dispersing medium. The recovered weight, particle size distribution, aspirin loading efficiency, and the aspirin release rate of microcapsules were analysed. The addition of appropriate amounts of non-solvent (n-heptane) prior to the emulsification increases the recovered weight, but decreases the size of the formed microcapsules. The addition of non-solvent also changes the microcapsule characteristics, resulting in a coarser surface and an increased release rate. Increasing the polymer (ethylcellulose) concentration in the dispersed phase increases the

size of the microcapsules, the recovered weight, and loading efficiency, but decreases the release rate. The release rate follows first-order kinetics during the first 12 h, suggesting a monolithic system with aspirin uniformly distributed in the microcapsule.

L40 ANSWER 7 OF 14 MEDLINE
AN 2000034577 MEDLINE
TI Batch effects, water content and aqueous/organic solvent reactivity of microcrystalline cellulose samples.
AU Ardizzone S; Dioguardi F S; Mussini P R; Mussini T; Rondinini S; Vercelli B; Vertova A
SO INTERNATIONAL JOURNAL OF BIOLOGICAL MACROMOLECULES, (1999 Dec 1) 26 (4) 269-77.
Journal code: AY6; 7909578. ISSN: 0141-8130.
AB The structural, morphological and surface features on two MCC powders of the same commercial type (Avicel PH 102), but coming from different countries (The Netherlands and Hong Kong) and vendors (DMV International and Mingtai Chemical Co., Ltd., respectively), have been investigated and compared, by means of the X-ray diffraction, SEM and BET and polymerization degree determination. TGA and water sorption from saturated vapor experiments have been applied to characterize and compare the MCC/water interactions of the two samples. The results were integrated by studies of preferential sorption from binary aqueous/organic solvents.

L40 ANSWER 8 OF 14 MEDLINE
AN 1999138453 MEDLINE
TI Effect of drug properties on the release from CAP microspheres prepared by a solvent evaporation method.
AU Silva J P; Ferreira J P
SO JOURNAL OF MICROENCAPSULATION, (1999 Jan-Feb) 16 (1) 95-103.
Journal code: JMG; 8500513. ISSN: 0265-2048.
AB Drugs with different water-solubility and molecular weights were microencapsulated in cellulose acetate phthalate, using an emulsion-solvent evaporation technique with a continuous oil-phase. The mean size of the particles was approximately 600 microns, and they were non-porous. The capacity of the microspheres to retain the drugs was evaluated by in vitro release studies in acidic medium. For low molecular weight compounds the release rates increased with solubility: for thiamin hydrochloride and phenacetin, a highly and a poorly soluble compound respectively, the percentages released at 60 min were 90 and 10%. Drugs with molecular weights above approximately 700 Da were retained in the microspheres. The above dependence on solubility was corroborated by release studies in ethanol, and by modelling the release of phenacetin in acidic media. Microspheres with a different polymer matrix, Eudragit RS PO, were also prepared by a similar technique, and these particles prolonged the release of thiamin for over 6 h, under simulated GI conditions.

L40 ANSWER 9 OF 14 MEDLINE
AN 97210641 MEDLINE
TI Cryptosporidium parvum oocysts recovered from water by the membrane filter dissolution method retain their infectivity.
AU Graczyk T K; Fayer R; Cranfield M R; Owens R
SO JOURNAL OF PARASITOLOGY, (1997 Feb) 83 (1) 111-4.
Journal code: JL3; 7803124. ISSN: 0022-3395.
AB Cryptosporidium parvum oocysts infectious to neonatal BALB/c mice were processed by the cellulose-acetate membrane (CAM) filter

dissolution method to determine if the procedure that utilizes acetone incubation and alcohol centrifugations alters their viability (determined by in vitro excystation) or infectivity (determined by infectivity bioassay). In addition, most oocysts with altered viability by desiccation, heat inactivation, and snap freezing that were processed by the CAM filter dissolution method were nonrefractile, unstained oocyst ghosts. The remaining organisms, oocyst shells, were lightly stained with the acid-fast stain. Infectious oocysts retained their infectivity and nonviable oocysts (oocyst shells) retained their morphology when processed by the CAM dissolution method. Infectious oocysts, oocyst shells, and oocyst ghosts produced positive reactions of similar intensity in direct immunofluorescence antibody staining, utilizing the MERIFLUOR Cryptosporidium/Giardia test kit. Cryptosporidium oocysts recovered from finished drinking water by the CAM dissolution method can be subjected to testing for their viability and infectivity.

L40 ANSWER 10 OF 14 MEDLINE
AN 95356005 MEDLINE
TI Preparation of ethylcellulose microcapsules containing theophylline by using emulsion non-solvent addition method.
AU Chen H; Wu J C; Chen H Y
SO JOURNAL OF MICROENCAPSULATION, (1995 Mar-Apr) 12 (2) 137-47. Journal code: JMG; 8500513. ISSN: 0265-2048.
AB A new technique in which ethylcellulose microcapsules containing theophylline (a water-soluble drug), prepared using the O/W emulsion non-solvent addition method, was developed. Toluene-cyclohexane was chosen as the solvent-nonsolvent system. The effects of four process variables, polymer concentration, species and concentration of emulsifier, and core to wall ratio, on the micromeritic properties and release behaviour of microcapsules were investigated. The results indicated that theophylline can be microencapsulated with a high yield (low drug loss) by using the O/W emulsion non-solvent addition method with the toluene-cyclohexane system. The particle size and drug content of the microcapsules were influenced by these process variables. The morphology of microcapsules was also affected by the core to wall ratio. The release pattern of the microcapsules was found to have similar properties to the release of a drug from a spherical homogeneous matrix. The effective diffusion coefficient increased with increasing core to wall ratio.

L40 ANSWER 11 OF 14 MEDLINE
AN 95093990 MEDLINE
TI Synthetic polymers as solubilizing vehicles for enzymes in water-poor media.
AU Adlercreutz P; Mattiasson B; Otamiri M
SO BIOORGANIC AND MEDICINAL CHEMISTRY, (1994 Jun) 2 (6) 529-33. Journal code: B38; 9413298. ISSN: 0968-0896.
AB A recent method for exposing enzymes to organic solvents is reviewed. By complex formation between the enzyme and polymers that per se are soluble in organic solvents it is possible to disperse the enzyme in the organic medium in such a way that an optically transparent (in the visible region) solution is obtained. After reaction, the separation of the enzyme from the organic medium can be obtained simply by addition of water. The enzyme can be recovered from the water phase. Physicochemical studies have revealed that the enzyme is more stable in the complex-bound form.

L40 ANSWER 12 OF 14 MEDLINE
AN 92207808 MEDLINE
TI [Study of lipoprotein constituents using absorption and elution]. Etude de la constitution des lipoproteines par absorption et elution.
AU Etienne J
SO JOURNAL DE PHYSIOLOGIE. SUPPLEMENT, (1967) 19 1-92.
Journal code: AYC; 0427151. ISSN: 0449-1939.

L40 ANSWER 13 OF 14 MEDLINE
AN 90347640 MEDLINE
TI Permeability of cellulose polymers: water vapour transmission rates.
AU Sprockel O L; Prapaitrakul W; Shivanand P
SO JOURNAL OF PHARMACY AND PHARMACOLOGY, (1990 Mar) 42 (3) 152-7.
Journal code: JNR; 0376363. ISSN: 0022-3573.
AB The water vapour transmission rates (WVTR) through solvent cast polymer films prepared from cellulose acetate, cellulose acetate propionate, and cellulose acetate butyrate have been determined. They were influenced by the relative humidity, the substituent type and the extent of substitution. Increasing the relative humidity from 32 to 90% increased the WVTR 3 to 5 times depending on the polymer used. The WVTR increased in the order of butyrate less than propionate less than acetate. An increase in the extent of substitution with acetyl and/or butyryl groups resulted in an exponential decline in the WVTR.

L40 ANSWER 14 OF 14 MEDLINE
AN 70155394 MEDLINE
TI Comparative poliovirus permeability of silver, polycarbonate, and cellulose membrane filters.
AU Hahn R G; Hatlen J B; Kenny G E
SO APPLIED MICROBIOLOGY, (1970 Feb) 19 (2) 317-20.
Journal code: 6K0; 7605802. ISSN: 0003-6919.

FILE 'HOME' ENTERED AT 10:34:26 ON 18 APR 2002

FILE 'REGISTRY' ENTERED AT 13:55:44 ON 18 APR 2002
E CELLULOSE ACETATE/CN 5
L1 1 SEA ABB=ON PLU=ON "CELLULOSE ACETATE"/CN
L2 1 SEA ABB=ON PLU=ON CELLULOSE/CN

FILE 'CAPLUS' ENTERED AT 13:56:39 ON 18 APR 2002
L3 14552 SEA ABB=ON PLU=ON (L2 OR CELLULOSE)(S)(MICROCRYST? OR CRYST?)
L4 328 SEA ABB=ON PLU=ON L3 AND L1

FILE 'REGISTRY' ENTERED AT 13:57:45 ON 18 APR 2002
L5 6 SEA ABB=ON PLU=ON (METHANOL OR ETHANOL OR PROPANOL OR ISOPROPANOL OR ACETONE)/CN

FILE 'CAPLUS' ENTERED AT 14:01:02 ON 18 APR 2002
L6 39 SEA ABB=ON PLU=ON L4 AND (L5 OR METHANOL OR ETHANOL OR PROPANOL OR ISOPROPANOL OR ACETONE OR (METHYL OR ME OR ET OR ETHYL OR PROPYL OR PR OR ISOPROPYL OR (TERT? OR T)(W)(BUTYL OR BU))(W)(ALC OR ALCOHOL))

FILE 'REGISTRY' ENTERED AT 14:01:25 ON 18 APR 2002
E WATER/CN
L7 15 SEA ABB=ON PLU=ON (WATER/CN OR "WATER ((H2O)2)"/CN OR "WATER (D218O)"/CN OR "WATER (D2O1+)"/CN OR "WATER (DOT), HEAVY"/CN OR "WATER (DTO)"/CN OR "WATER (H17OH)"/CN OR "WATER (H214O)"/CN OR "WATER (H215O)"/CN OR "WATER (H217O)"/CN OR "WATER (H218O)"/CN OR "WATER (H2O1+)"/CN OR "WATER (HD16O)"/CN OR "WATER (HDO)"/CN OR "WATER (HDO1+)"/CN OR "WATER (HTO)"/CN OR "WATER (T218O)"/CN OR "WATER (T2O)"/CN OR "WATER (TOH)"/CN)

FILE 'CAPLUS' ENTERED AT 14:01:42 ON 18 APR 2002
L8 19 SEA ABB=ON PLU=ON L6 AND (L7 OR WATER OR H2O)
L9 5 SEA ABB=ON PLU=ON L8 AND GRANUL?
L10 5 SEA ABB=ON PLU=ON L9 AND TABLET
ACT WHITE708/A

L11 (1)SEA ABB=ON PLU=ON METHYLCELLULOSE/CN
L12 (1)SEA ABB=ON PLU=ON "HYDROXYPROPYL CELLULOSE"/CN
L13 (1)SEA ABB=ON PLU=ON "SODIUM CARBOXYMETHYL CELLULOSE"/CN
L14 (1)SEA ABB=ON PLU=ON 9004-65-3/RN
L15 (22)SEA ABB=ON PLU=ON (GELATIN/CN OR "GELATIN (HUMAN 10KDA)"/CN OR "GELATIN (HUMAN 15KDA)"/CN OR "GELATIN (HUMAN 17-KILODALTON)"/CN OR "GELATIN (HUMAN 18-KILODATON)"/CN OR "GELATIN (HUMAN 22KDA)"/CN OR "GELATIN (HUMAN 23KDA)"/CN OR "GELATIN (HUMAN 33-KILODALTON)"/CN OR "GELATIN (HUMAN 37KDA)"/CN OR "GELATIN (HUMAN 44-KILODALTON)"/CN OR "GELATIN (HUMAN 45KDA)"/CN OR "GELATIN (HUMAN 50-KILODALTON)"/CN OR "GELATIN (HUMAN 5KDA)"/CN OR "GELATIN (HUMAN 65KDA)"/CN OR "GELATIN (HUMAN 6KDA)"/CN OR "GELATIN (HUMAN 8KDA)"/CN OR "GELATIN (HUMAN 9KDA)"/CN OR "GELATIN (HUMAN)"/CN)
L16 (1)SEA ABB=ON PLU=ON ACETATE/CN
L17 (1)SEA ABB=ON PLU=ON POLYVINYLPYRROLIDONE/CN
L18 (1)SEA ABB=ON PLU=ON STARCH/CN
L19 (1)SEA ABB=ON PLU=ON "ALGINIC ACID"/CN
L20 (1)SEA ABB=ON PLU=ON CARRAGEENAN/CN
L21 (1)SEA ABB=ON PLU=ON "GUM TRAGACANTH"/CN

Searcher : Shears 308-4994

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L22 (          1)SEA ABB=ON  PLU=ON  "GUM ARABIC"/CN
L23 (          1)SEA ABB=ON  PLU=ON  "GUM KARAYA"/CN
L24 (         34)SEA ABB=ON  PLU=ON  L11 OR L12 OR L13 OR L14 OR L15 OR
                 L16 OR L17 OR L18 OR L19 OR L20 OR L21 OR L22 OR L23
L25 (          1)SEA ABB=ON  PLU=ON  CELLULOSE/CN
L26 (     293006)SEA ABB=ON  PLU=ON  L25 OR CELLULOSE
L27 (          5)SEA ABB=ON  PLU=ON  (METHANOL OR ETHANOL OR PROPANOL OR
                 ISOPROPANOL)/CN
L28 (          1)SEA ABB=ON  PLU=ON  ACETONE/CN
L29 (         15)SEA ABB=ON  PLU=ON  (WATER/CN OR "WATER ((H2O)2)"/CN OR
                 "WATER (D218O)"/CN OR "WATER (D2O1+)"/CN OR "WATER
                 (DOT), HEAVY"/CN OR "WATER (DTO)"/CN OR "WATER (H17OH)"/C
                 N OR "WATER (H214O)"/CN OR "WATER (H215O)"/CN OR "WATER
                 (H217O)"/CN OR "WATER (H218O)"/CN OR "WATER (H2O1+)"/CN
                 OR "WATER (HD16O)"/CN OR "WATER (HDO)"/CN OR "WATER
                 (HDO1+)"/CN OR "WATER (HTO)"/CN OR "WATER (T218O)"/CN OR
                 "WATER (T2O)"/CN OR "WATER (TOH)"/CN)
L30 (      14552)SEA ABB=ON  PLU=ON  L26(S)(MICROCRYST? OR CRYST?)
L31 (       5141)SEA ABB=ON  PLU=ON  L30 AND (L24 OR METHYLCELLULOSE OR
                 HYDROXYPROPYLCELLULOSE OR (NA OR SODIUM)(W)CARBOXYMETHYLC
                 ELLULOSE OR HYDROXYPROPYLMETHYLCELLULOSE OR GELATIN OR
                 ACETATE OR PVP OR POLYVINYLPYRROLIDONE OR STARCH OR
                 ALIGINATE OR ALGINIC OR ((LOCUST OR GUAR)(3A)SEED)(S)(EXT
                 ## OR EXTRACT?) OR CARRAGEENAN)
L32 (        139)SEA ABB=ON  PLU=ON  L30 AND (GUM(W)(TRAGACANTH OR ARABIC
                 OR KAR!YA))
L33 (       1646)SEA ABB=ON  PLU=ON  L30 AND ((METHYL OR ME OR HYDROXYPROP
                 YL OR HYDROXY(W)(PROPYL OR PR) OR (NA OR SODIUM)(W)(CARBO
                 XYMETHYL OR CARBOXY(W)(ME OR METHYL)) OR HYDROXYPROPYL
                 OR HYDROXY(W)(PR OR PROPYL))(W)CELLULOSE)
L34 (        174)SEA ABB=ON  PLU=ON  L30 AND (POLY(W)(VINYLPYRROLIDONE OR
                 VINYL PYRROLIDONE) OR POLYVINYL PYRROLIDONE)
L35 (        390)SEA ABB=ON  PLU=ON  (L31 OR L32 OR L33 OR L34) AND (L27
                 OR L28 OR METHANOL OR ETHANOL OR PROPANOL OR ISOPROPANOL
                 OR (METHYL OR ME OR ET OR ETHYL OR PROPYL OR PR OR
                 ISOPROPYL OR (TERT? OR T)(W)(BU OR BUTYL))(W)(ALC OR
                 ALCOHOL) OR ACETONE)
L36 (        179)SEA ABB=ON  PLU=ON  L35 AND (L29 OR WATER OR H2O)
L37           39 SEA ABB=ON  PLU=ON  L36 AND GRANUL?
                 ---------
L38            0 SEA ABB=ON  PLU=ON  L10 NOT L37

     (FILE 'MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH,
     JICST-EPLUS, JAPIO' ENTERED AT 14:03:11 ON 18 APR 2002)
L39            0 S L10

     (FILE 'REGISTRY' ENTERED AT 14:04:32 ON 18 APR 2002)
                 E "TERT-BUTYL ALCOHOL"/CN 5
L40            1 S E3

     FILE 'CAPLUS' ENTERED AT 14:04:47 ON 18 APR 2002
L41           12 S L3 AND L40
L42            6 S L41 AND (L7 OR WATER OR H2O)
L43            1 S L42 AND GRANUL?
L44            0 S L43 NOT L37

     (FILE 'MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH,
     JICST-EPLUS, JAPIO' ENTERED AT 14:07:07 ON 18 APR 2002)
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L45 0 S L43

=> fil hom
FILE 'HOME' ENTERED AT 14:08:28 ON 18 APR 2002